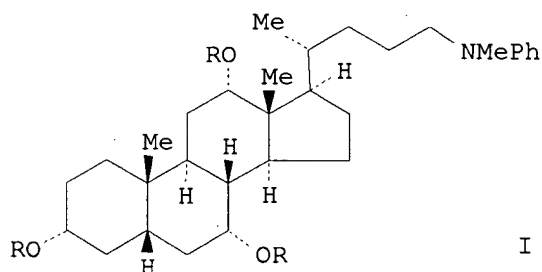


L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS
 AN 1998:221399 CAPLUS
 DN 128:205033
 TI Design and Synthesis of Potent Sensitizers of Gram-Negative Bacteria Based on a Cholic Acid Scaffolding
 AU Li, Chunhong; Peters, Adam S.; Meredith, Erik L.; Allman, Glenn W.; Savage, Paul B.
 CS Departments of Chemistry and Biochemistry and Microbiology, Brigham Young University, Provo, UT, 84602, USA
 SO Journal of the American Chemical Society (1998), 120(12), 2961-2962
 CODEN: JACSAT; ISSN: 0002-7863
 PB American Chemical Society
 DT Journal
 LA English
 CC 32-6 (Steroids)
 Section cross-reference(s): 22, 34
 GI



AB The outer membrane of Gram-neg. bacteria inhibits the passage of numerous antibiotics into the bacteria and thereby decreases the activity of many antibiotics against Gram-neg. strains. Lipid A is a primary component of the outer membrane of Gram-neg. bacteria and plays an essential role in cell wall integrity. Compds. that assoc. strongly with lipid A can disrupt the organization of the outer cell wall and sensitize Gram-neg. bacteria to antibiotics. Cholic acid derivs. I [R = CH₂CH₂NH₂, CH₂CH₂CH₂NH₂, CH₂CH₂NHC(NH₂):NH, CH₂CH₂CH₂NHC(NH₂):NH] designed as lipid A-binding mols. that exhibit bacteriostatic and bactericidal activities and sensitize Gram-neg. bacteria to antibiotics were prepd. For example, the min. inhibitory concns. of erythromycin and novobiocin are lowered by factors of 70 and 500, resp., in the presence one of the cholic acid derivs. at a concn. of 2 .mu.g/mL. Structural variations in the cholic acid derivs. indicate that bacteriostatic and bactericidal activity can be sepd. from the ability of the compds. to sensitize bacteria to antibiotics.
 ST Gram neg bacteria sensitizer prepn; cholic acid deriv prepn bacteria sensitization; bactericidal cholic acid deriv prepn; lipid A binding mol steroid prepn
 IT Steroids, preparation
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
 (cholane; design and synthesis of potent sensitizers of Gram-neg. bacteria based on a cholic acid backbone)
 IT Antibacterial agents

Conformation

Molecular mechanics

(design and synthesis of potent sensitizers of Gram-neg. bacteria based on a cholic acid backbone)

IT Lipid A

RL: RCT (Reactant); RACT (Reactant or reagent)

(design and synthesis of potent sensitizers of Gram-neg. bacteria based on a cholic acid backbone)

IT Structure-activity relationship

(sensitization; design and synthesis of potent sensitizers of Gram-neg. bacteria based on a cholic acid backbone)

IT Gram-negative bacteria

(sensitizers; design and synthesis of potent sensitizers of Gram-neg. bacteria based on a cholic acid backbone)

IT Cooperative phenomena

(synergism; design and synthesis of potent sensitizers of Gram-neg. bacteria based on a cholic acid backbone)

IT 86408-36-8, Polymyxin B nonapeptide

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(design and synthesis of potent sensitizers of Gram-neg. bacteria based on a cholic acid backbone)

IT 203795-46-4P 203795-47-5P 203795-48-6P 203795-49-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(design and synthesis of potent sensitizers of Gram-neg. bacteria based on a cholic acid backbone)

IT 203795-53-3P 203795-54-4P 203795-55-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(design and synthesis of potent sensitizers of Gram-neg. bacteria based on a cholic acid backbone)

IT 121379-26-8, 4-10-Polymyxin B1

RL: PRP (Properties)

(design and synthesis of potent sensitizers of Gram-neg. bacteria based on a cholic acid backbone)

IT 103-67-3, N-Benzylmethylamine 111-83-1, 1-Bromooctane 1448-36-8, Methyl cholate

RL: RCT (Reactant); RACT (Reactant or reagent)

(design and synthesis of potent sensitizers of Gram-neg. bacteria based on a cholic acid backbone)

IT 3758-71-2P 203795-50-0P 203795-51-1P 203795-52-2P 203795-56-6P

203795-57-7P 203795-58-8P 203795-61-3P 203795-64-6P 203795-67-9P

203795-70-4P 203795-73-7P 203795-76-0P 203795-79-3P 203795-82-8P

203795-85-1P 203795-88-4P 203795-91-9P 203795-93-1P 203795-94-2P

203795-95-3P 203795-96-4P 203796-02-5P 203796-03-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(design and synthesis of potent sensitizers of Gram-neg. bacteria based on a cholic acid backbone)

IT 203795-97-5P 203795-98-6P 203795-99-7P 203796-01-4P

RL: SPN (Synthetic preparation); PREP (Preparation)

(design and synthesis of potent sensitizers of Gram-neg. bacteria based on a cholic acid backbone)

IT 114-07-8, Erythromycin 303-81-1, Novobiocin

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(synergism of potent sensitizers of Gram-neg. bacteria based on a

cholic acid backbone with)

=> d ibib ab hitstr 1-5

L7 ANSWER 1 OF 5 USPATFULL
 ACCESSION NUMBER: 2002:172518 USPATFULL
 TITLE: Steroid derived antibiotics
 INVENTOR(S): Savage, Paul B., Springville, UT, UNITED STATES
 Li, Chunhong, Provo, UT, UNITED STATES

| NUMBER | KIND | DATE |
|----------------|------|--------------|
| US 2002091278 | A1 | 20020711 |
| US 2001-930316 | A1 | 20010815 (9) |

PATENT INFORMATION: US 2002091278 A1 20020711
 APPLICATION INFO.: US 2001-930316 A1 20010815 (9)
 RELATED APPL. INFO.: Continuation-in-part of Ser. No. US 1999-234008, filed on 19 Jan 1999, PATENTED Continuation-in-part of Ser. No. WO 1998-US4489, filed on 6 Mar 1998, UNKNOWN

| NUMBER | DATE |
|-----------------|---------------|
| US 2000-225467P | 20000815 (60) |

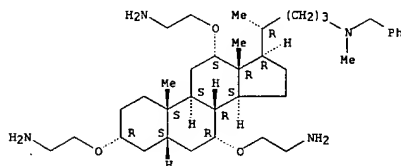
PRIORITY INFORMATION: US 2000-225467P 20000815 (60)
 DOCUMENT TYPE: Utility
 FILE SEGMENT: APPLICATION
 LEGAL REPRESENTATIVE: JOHN W. FREEMAN, ESQ., Fish & Richardson P.C., 225 Franklin Street, Boston, MA, 02110-2804
 NUMBER OF CLAIMS: 58
 EXEMPLARY CLAIM: 1
 NUMBER OF DRAWINGS: 10 Drawing Page(s)
 LINE COUNT: 3770
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A series of novel steroid derivatives are described. The steroid derivatives are antibacterial agents. The steroid derivatives also act to sensitize bacteria to other antibiotics including erythromycin and novobiocin.

IT 203795-46-4P 203795-47-5P 203795-48-6P
 203795-49-7P 222022-08-4P
 (prepn. of steroid derivs. as antibiotics)

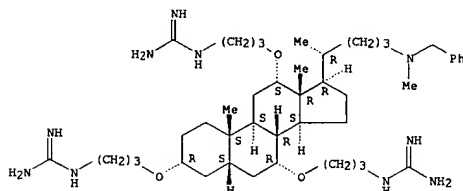
RN 203795-46-4 USPATFULL
 CN Cholan-24-amine, 3,7,12-tris(2-aminoethoxy)-N-methyl-N-(phenylmethyl)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



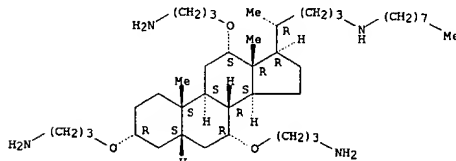
RN 203795-47-5 USPATFULL
 CN Cholan-24-amine, 3,7,12-tris(3-aminopropoxy)-N-methyl-N-(phenylmethyl)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

L7 ANSWER 1 OF 5 USPATFULL (Continued)



RN 222022-08-4 USPATFULL
 CN Cholan-24-amine, 3,7,12-tris(3-aminopropoxy)-N-octyl-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

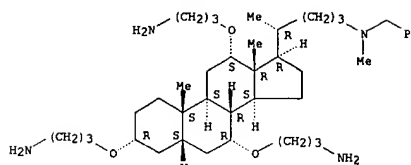
Absolute stereochemistry.



IT 203795-53-3P 203795-54-4P 203795-55-5P
 222021-67-2P 222021-69-4P 222021-72-9P
 222021-76-3P 222021-81-0P 222021-84-3P
 222021-86-5P 222022-08-4P 222022-10-0P
 222022-11-9P 222165-06-2P 222165-09-5P
 222165-15-3P 222165-20-0P 241161-83-1P
 241161-84-2P 241161-85-3P 241161-86-4P
 241161-93-3P 241161-94-4P 241161-95-5P
 241161-96-6P 302784-38-9P 302784-39-0P
 302784-40-3P 302784-41-4P 302784-42-5P
 302784-43-6P 302784-44-7P 302784-57-2P
 348478-61-1P 400710-91-0P 400710-92-1P
 400710-93-2P
 (prepn. of steroid derivs. as antibiotics)
 RN 203795-53-3 USPATFULL
 CN Cholan-24-amine, 3,7,12-tris(2-aminoethoxy)-N-methyl-N-(phenylmethyl)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

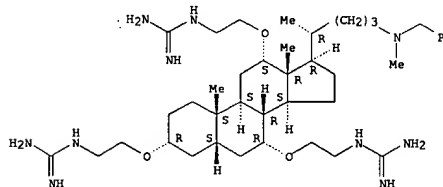
Absolute stereochemistry.

L7 ANSWER 1 OF 5 USPATFULL (Continued)
 Absolute stereochemistry.



RN 203795-48-6 USPATFULL
 CN Guanidine, N,N',N''-[[[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phenylmethyl)amino]cholan-3,7,12-triyl]tris(oxy-2,1-ethanedyl)]tris- (9CI) (CA INDEX NAME)

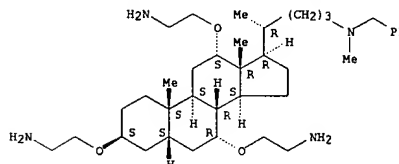
Absolute stereochemistry.



RN 203795-49-7 USPATFULL
 CN Guanidine, N,N',N''-[[[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phenylmethyl)amino]cholan-3,7,12-triyl]tris(oxy-3,1-propanedyl)]tris- (9CI) (CA INDEX NAME)

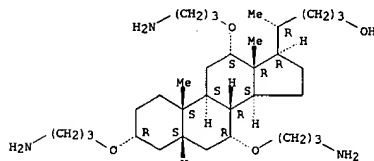
Absolute stereochemistry.

L7 ANSWER 1 OF 5 USPATFULL (Continued)



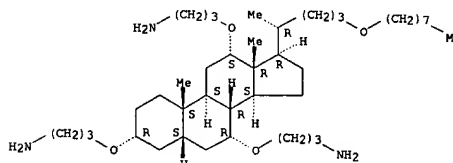
RN 203795-54-4 USPATFULL
 CN Cholan-24-ol, 3,7,12-tris(3-aminopropoxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 203795-55-5 USPATFULL
 CN 1-Propanamine, 3,3',3''-[[[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-(octyloxy)cholan-3,7,12-triyl]tris(oxy)]tris- (9CI) (CA INDEX NAME)

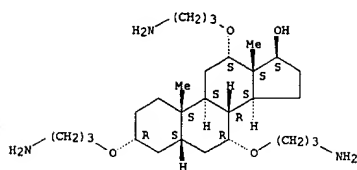
Absolute stereochemistry.



RN 222021-67-2 USPATFULL
 CN Androstan-17-ol, 3,7,12-tris(3-aminopropoxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

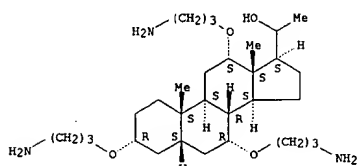
Absolute stereochemistry.

L7 ANSWER 1 OF 5 USPATFULL (Continued)



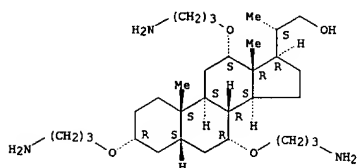
RN 222021-69-4 USPATFULL
CN Pregnan-20-ol, 3,7,12-tris(3-aminopropoxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



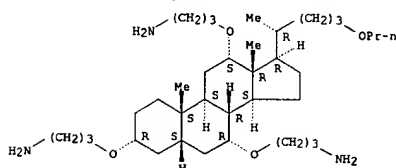
RN 222021-72-9 USPATFULL
CN Pregnan-20-methanol, 3,7,12-tris(3-aminopropoxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



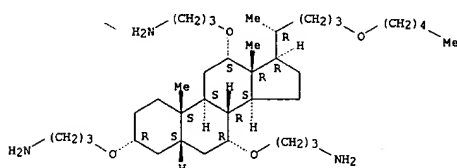
RN 222021-76-3 USPATFULL

L7 ANSWER 1 OF 5 USPATFULL (Continued)



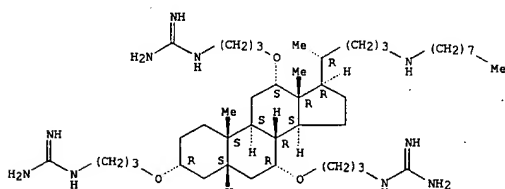
RN 222021-86-5 USPATFULL
CN 1-Propanamine, 3,3',3''-[[[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-(pentyloxy)choleane-3,7,12-triyl]tris(oxy)]tris- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 222022-09-5 USPATFULL
CN Guanidine, N,N'',N''''-[[[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-(octylamino)choleane-3,7,12-triyl]tris(oxy-3,1-propanediyl)]tris- (9CI) (CA INDEX NAME)

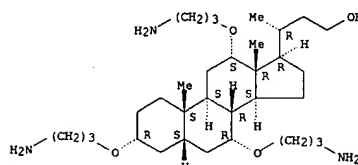
Absolute stereochemistry.



RN 222022-10-8 USPATFULL
CN Cholan-24-amine, 3,7,12-tris(3-aminopropoxy)-,

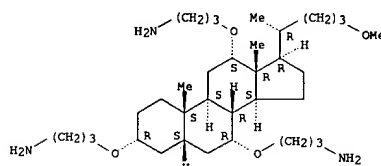
L7 ANSWER 1 OF 5 USPATFULL (Continued)
CN 24-Norcholeane-23-ol, 3,7,12-tris(3-aminopropoxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 222021-81-0 USPATFULL
CN 1-Propanamine, 3,3',3''-[[[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-methoxycholeane-3,7,12-triyl]tris(oxy)]tris- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



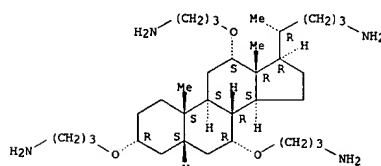
RN 222021-84-3 USPATFULL
CN 1-Propanamine, 3,3',3''-[[[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-propoxycholeane-3,7,12-triyl]tris(oxy)]tris- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



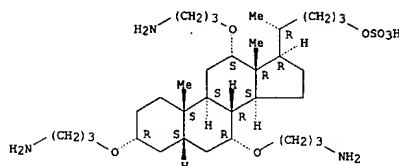
L7 ANSWER 1 OF 5 USPATFULL (Continued)
CN (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



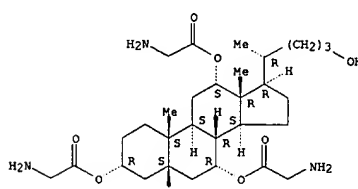
RN 222022-11-9 USPATFULL
CN Cholan-24-ol, 3,7,12-tris(3-aminopropoxy)-, hydrogen sulfate (ester), (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

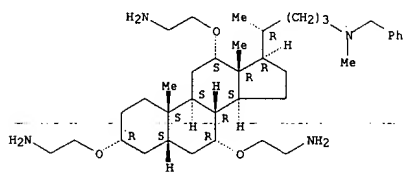


RN 222165-06-2 USPATFULL
CN Glycine, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-hydroxycholeane-3,7,12-triyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 1 OF 5 USPATFULL (Continued)

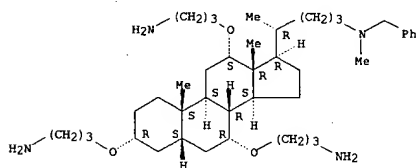


●x HCl

RN 241161-94-4 USPATFULL

CN Cholan-24-amine, 3,7,12-tris(3-aminopropoxy)-N-methyl-N-(phenylmethyl)-, hydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



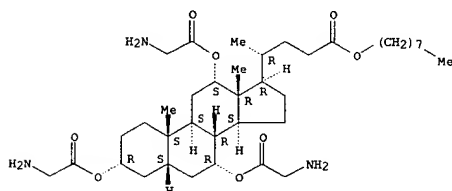
●x HCl

RN 241161-95-5 USPATFULL

CN Guanidine, N,N',N''-[[[3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phenylmethyl)amino]cholan-3,7,12-triyl]tris(oxy-3,1-ethanediyl)]tris-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

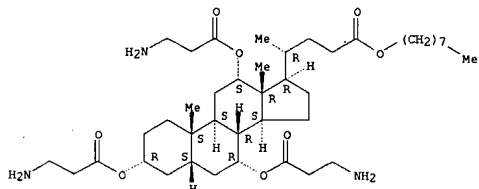
L7 ANSWER 1 OF 5 USPATFULL (Continued)



RN 302784-39-0 USPATFULL

CN Cholan-24-oic acid, 3,7,12-tris(3-amino-1-oxopropoxy)-, octyl ester, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

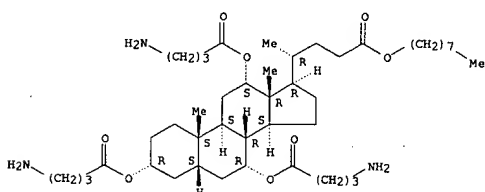
Absolute stereochemistry.



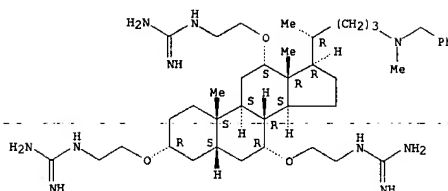
RN 302784-40-3 USPATFULL

CN Cholan-24-oic acid, 3,7,12-tris(4-amino-1-oxobutoxy)-, octyl ester, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 1 OF 5 USPATFULL (Continued)

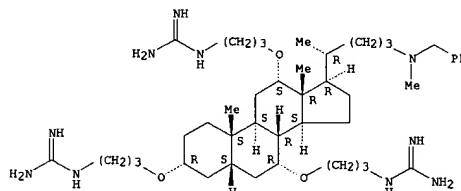


●x HCl

RN 241161-96-6 USPATFULL

CN Guanidine, N,N',N''-[[[3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phenylmethyl)amino]cholan-3,7,12-triyl]tris(oxy-3,1-propanediyl)]tris-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●x HCl

RN 302784-38-9 USPATFULL

CN Cholan-24-oic acid, 3,7,12-tris[(aminoacetyl)oxy]-, octyl ester, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

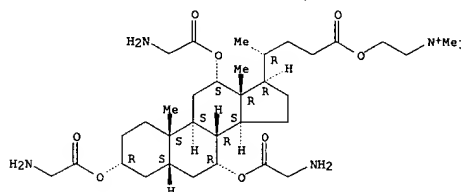
Absolute stereochemistry.

L7 ANSWER 1 OF 5 USPATFULL (Continued)

RN 302784-41-4 USPATFULL

CN Cholan-24-oic acid, 3,7,12-tris[(aminoacetyl)oxy]-, 2-(trimethylammonio)ethyl ester, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

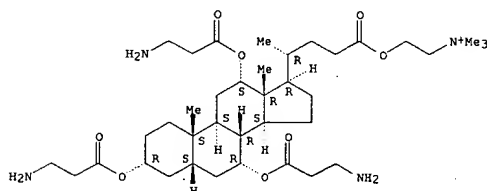
Absolute stereochemistry.



RN 302784-42-5 USPATFULL

CN Cholan-24-oic acid, 3,7,12-tris(3-amino-1-oxopropoxy)-, 2-(trimethylammonio)ethyl ester, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

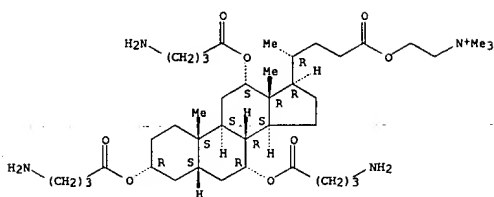


RN 302784-43-6 USPATFULL

CN Cholan-24-oic acid, 3,7,12-tris(4-amino-1-oxobutoxy)-, 2-(trimethylammonio)ethyl ester, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

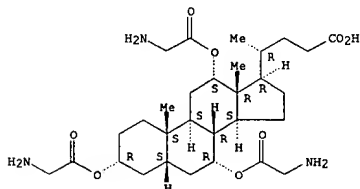
Absolute stereochemistry.

L7 ANSWER 1 OF 5 USPATFULL (Continued)



RN 302784-44-7 USPATFULL
CN Cholan-24-olc acid, 3,7,12-tris[(aminoacetyl)oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



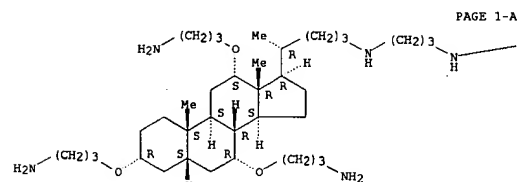
RN 302784-57-2 USPATFULL
CN Cholane-3,7,12-triol, 24-[(methyl(phenylmethyl)amino)-tris(4-aminobutanoate) (ester)], (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

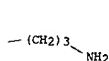
L7 ANSWER 1 OF 5 USPATFULL (Continued)

RN 400710-92-1 USPATFULL
CN 1,3-Propanediamine, N-[(3-aminopropyl)-N'-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-tris(3-aminopropoxy)cholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



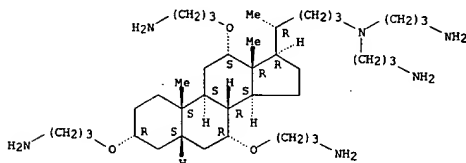
PAGE 1-A



PAGE 1-B

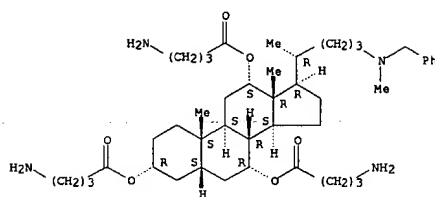
RN 400710-93-2 USPATFULL
CN 1,3-Propanediamine, N-[(3-aminopropyl)-N'-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-tris(3-aminopropoxy)cholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



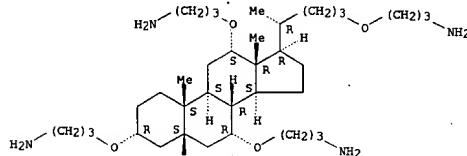
IT 203795-51-1P 203795-67-9P 203795-70-4P
203795-73-7P 203795-76-0P 203795-79-3P
203795-82-8P 203795-84-2P 203795-95-3P
203795-96-4P 203795-02-5P 222021-93-4P
222022-02-8P 222022-06-2P 222022-07-3P
222022-12-0P 222165-65-3P 222165-70-0P
241161-89-7P 241161-90-0P 241161-91-1P
241161-92-2P 284467-84-1P 284467-86-3P
284467-87-4P 302784-45-8P 302784-46-9P
302784-47-0P 302784-48-1P 302784-51-6P
400710-94-3P 400710-95-4P 400710-96-5P

L7 ANSWER 1 OF 5 USPATFULL (Continued)



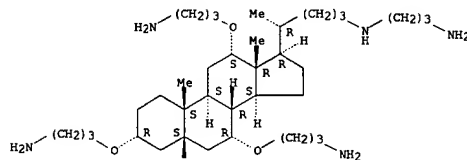
RN 349478-61-1 USPATFULL
CN 1-Propanediamine, 3,3',3'',3'''-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-cholane-3,7,12,24-tetra-yltetrakis(oxy)]tetrakis- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 400710-91-0 USPATFULL
CN 1,3-Propanediamine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-tris(3-aminopropoxy)cholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

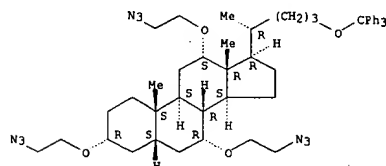


L7 ANSWER 1 OF 5 USPATFULL (Continued)
400710-97-6P 400710-98-7P 400711-01-5P
439940-49-5P 439940-51-9P 439940-52-0P
439940-53-1P

(prepn. of steroid derivs. as antibiotics)

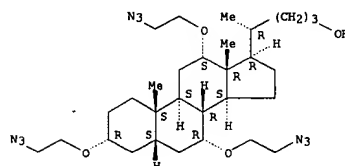
RN 203795-51-1 USPATFULL
CN Cholane, 3,7,12-tris(2-azidoethoxy)-24-(triphenylmethoxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 203795-67-9 USPATFULL
CN Cholane-24-ol, 3,7,12-tris(2-azidoethoxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

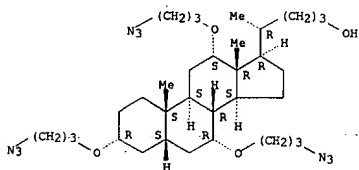
Absolute stereochemistry.



RN 203795-70-4 USPATFULL
CN Cholane-24-ol, 3,7,12-tris(3-azidopropoxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

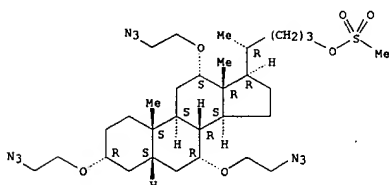
L7 ANSWER 1 OF 5 USPATFULL (Continued)



RN 203795-73-7 USPATFULL

CN Cholan-24-ol, 3,7,12-tris(2-azidoethoxy)-, methanesulfonate (ester), (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

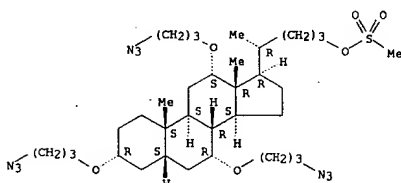
Absolute stereochemistry.



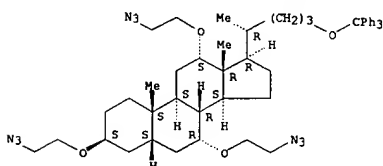
RN 203795-76-0 USPATFULL

CN Cholan-24-ol, 3,7,12-tris(3-azidopropoxy)-, methanesulfonate (ester), (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



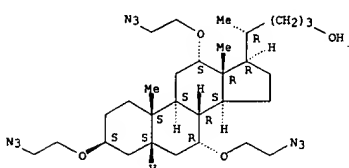
L7 ANSWER 1 OF 5 USPATFULL (Continued)



RN 203795-95-3 USPATFULL

CN Cholan-24-ol, 3,7,12-tris(2-azidoethoxy)-, (3.beta.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

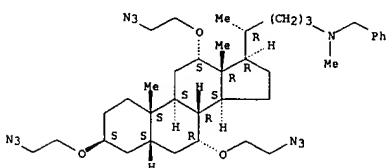
Absolute stereochemistry.



RN 203795-96-4 USPATFULL

CN Cholan-24-ol, 3,7,12-tris(2-azidoethoxy)-N-methyl-N-(phenylmethyl)-, (3.beta.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 203796-02-5 USPATFULL

CN Cholan-24-ol, 3,7,12-tris(3-azidopropoxy)-24-(octyloxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

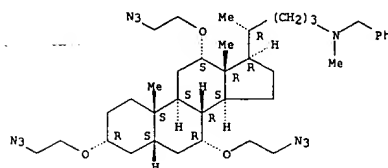
Absolute stereochemistry.

L7 ANSWER 1 OF 5 USPATFULL (Continued)

RN 203795-79-3 USPATFULL

CN Cholan-24-amine, 3,7,12-tris(2-azidoethoxy)-N-methyl-N-(phenylmethyl)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

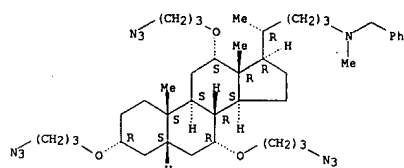
Absolute stereochemistry.



RN 203795-82-8 USPATFULL

CN Cholan-24-amine, 3,7,12-tris(3-azidopropoxy)-N-methyl-N-(phenylmethyl)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

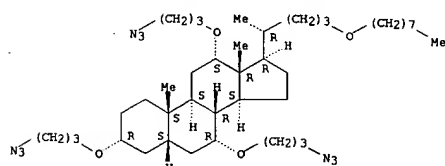


RN 203795-94-2 USPATFULL

CN Cholan-24-amine, 3,7,12-tris(2-azidoethoxy)-24-(triphenylmethoxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

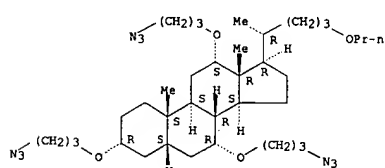
L7 ANSWER 1 OF 5 USPATFULL (Continued)



RN 222021-93-4 USPATFULL

CN Cholan-24-amine, 3,7,12-tris(3-azidopropoxy)-24-propoxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

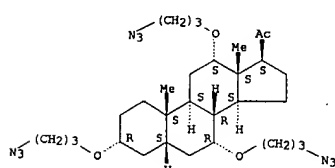
Absolute stereochemistry.



RN 222022-02-8 USPATFULL

CN Pregnan-20-one, 3,7,12-tris(3-azidopropoxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

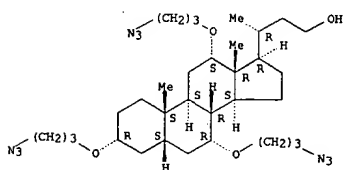


RN 222022-06-2 USPATFULL

CN 24-Norcholan-23-ol, 3,7,12-tris(3-azidopropoxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

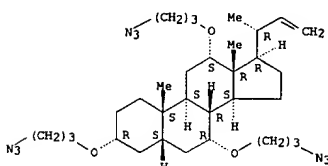
Absolute stereochemistry.

L7 ANSWER 1 OF 5 USPATFULL (Continued)



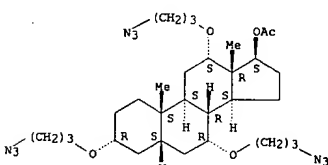
RN 222022-07-3 USPATFULL
CN 24-Norchol-22-ene, 3,7,12-tris(3-azidopropoxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



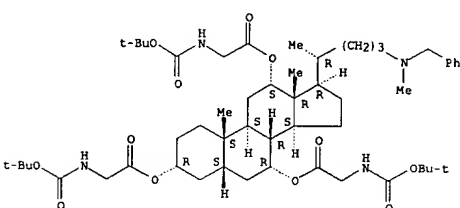
RN 222022-12-0 USPATFULL
CN Androstan-17-ol, 3,7,12-tris(3-azidopropoxy)-, acetate (ester), (3.alpha.,5.beta.,7.alpha.,12.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



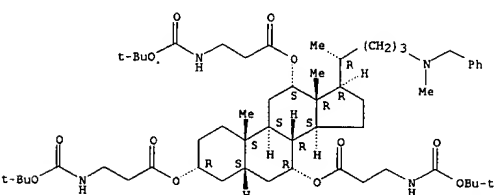
RN 222165-65-3 USPATFULL

L7 ANSWER 1 OF 5 USPATFULL (Continued)



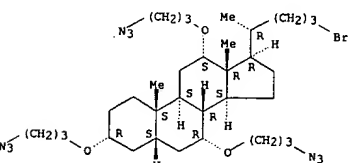
RN 241161-90-0 USPATFULL
CN .beta.-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phenylmethyl)amino]cholan-3,7,12-triyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 241161-91-1 USPATFULL
CN Cholan-24-ol, 3,7,12-tris(3-azidopropoxy)-24-bromo-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

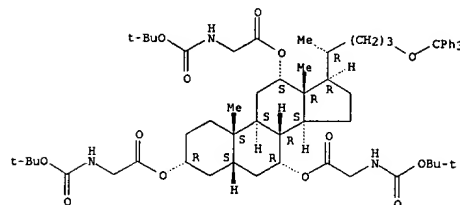
Absolute stereochemistry.



L7 ANSWER 1 OF 5 USPATFULL (Continued)

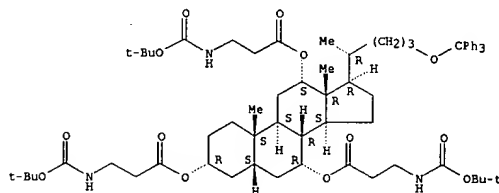
CN Glycine, N-[(1,1-dimethylethoxy)carbonyl]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-(triphenylmethoxy)cholan-3,7,12-triyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 222165-70-0 USPATFULL
CN .beta.-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-(triphenylmethoxy)cholan-3,7,12-triyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



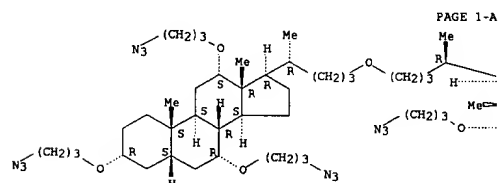
RN 241161-89-7 USPATFULL
CN Glycine, N-[(1,1-dimethylethoxy)carbonyl]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phenylmethyl)amino]cholan-3,7,12-triyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 1 OF 5 USPATFULL (Continued)

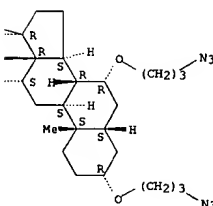
RN 241161-92-2 USPATFULL
CN Cholan-24-ol, 3,7,12-tris(3-azidopropoxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phenylmethyl)amino]cholan-3,7,12-triyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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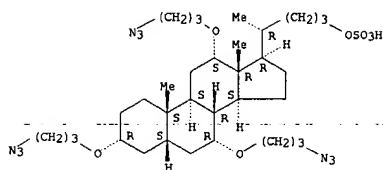
PAGE 1-B



RN 241161-92-2 USPATFULL
CN Cholan-24-ol, 3,7,12-tris(3-azidopropoxy)-, hydrogen sulfate (ester), (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

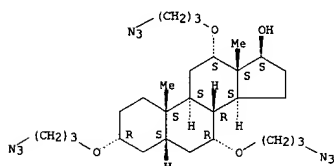
L7 ANSWER 1 OF 5 USPATFULL (Continued)



RN 284467-86-3 USPATFULL

CN Androstane-17-ol, 3,7,12-tris(3-azidopropoxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

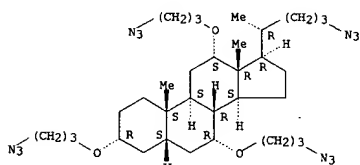
Absolute stereochemistry.



RN 284467-87-4 USPATFULL

CN Cholan-24-azido-3,7,12-tris(3-azidopropoxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

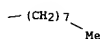
Absolute stereochemistry.



RN 302784-45-8 USPATFULL

L7 ANSWER 1 OF 5 USPATFULL (Continued)

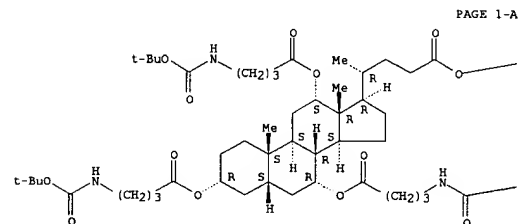
PAGE 1-B



RN 302784-47-0 USPATFULL

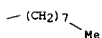
CN Cholan-24-oic acid, 3,7,12-tris[4-[[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxobutoxy]-, octyl ester, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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RN 302784-48-1 USPATFULL

CN Cholan-24-oic acid, 3,7,12-tris[4-[[[(1,1-dimethylethoxy)carbonyl]amino]acet yl]oxy]-, phenylmethyl ester, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

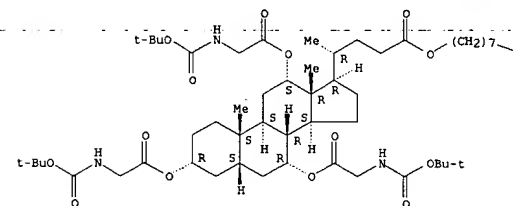
Absolute stereochemistry.

L7 ANSWER 1 OF 5 USPATFULL (Continued)

CN Cholan-24-oic acid, 3,7,12-tris[4-[[[(1,1-dimethylethoxy)carbonyl]amino]acet yl]oxy]-, octyl ester, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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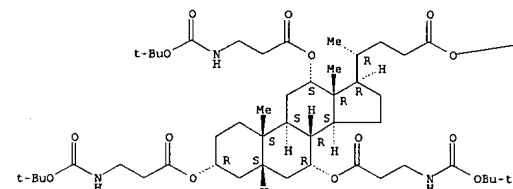
PAGE 1-B

RN 302784-46-9 USPATFULL

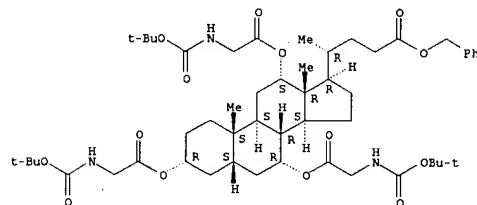
CN Cholan-24-oic acid, 3,7,12-tris[3-[[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxopropoxy]-, octyl ester, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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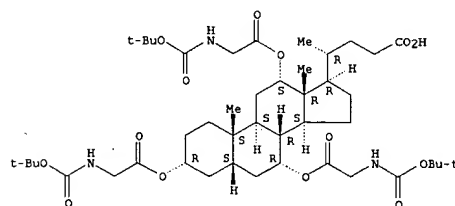
L7 ANSWER 1 OF 5 USPATFULL (Continued)



RN 302784-51-6 USPATFULL

CN Cholan-24-oic acid, 3,7,12-tris[4-[[[(1,1-dimethylethoxy)carbonyl]amino]acet yl]oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

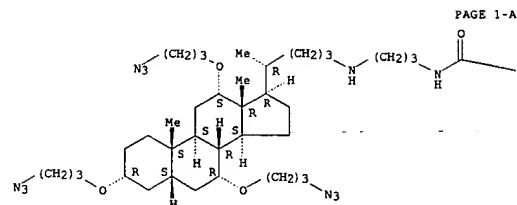


RN 400710-94-3 USPATFULL

CN Carbamic acid, [3-[[[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-tris(3-azidopropoxy)cholan-24-yl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 1 OF 5 USPATFULL (Continued)



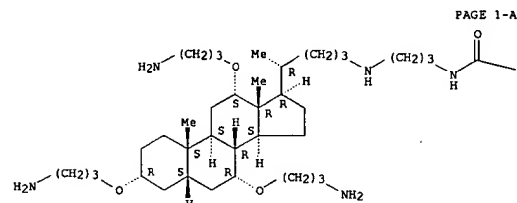
PAGE 1-B

-OBu-t

RN 400710-95-4 USPATFULL

CN Carbamic acid, [3-[[[3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-tris(3-azidopropoxy)cholan-24-yl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

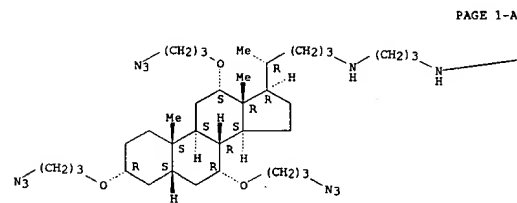
Absolute stereochemistry.



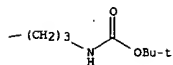
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-OBu-t

L7 ANSWER 1 OF 5 USPATFULL (Continued)



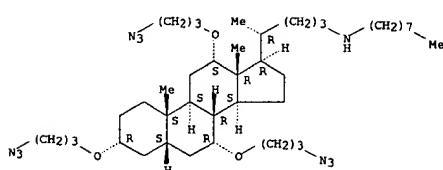
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RN 400711-01-5 USPATFULL

CN Cholan-24-amine, 3,7,12-tris(3-azidopropoxy)-N-octyl-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439940-49-5 USPATFULL

CN Cholan-24-oic acid, 3,7,12-tris[(((1,1-dimethylethoxy)carbonyl)amino)acet yloxy]-, 2-(dimethylamino)ethyl ester, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

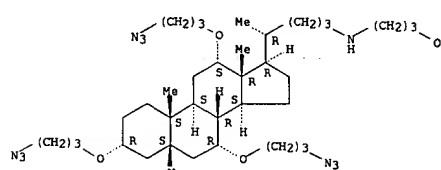
Absolute stereochemistry.

L7 ANSWER 1 OF 5 USPATFULL (Continued)

RN 400710-96-5 USPATFULL

CN 1-Propanol, 3-[[[3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-tris(3-azidopropoxy)cholan-24-yl]amino]- (9CI) (CA INDEX NAME)

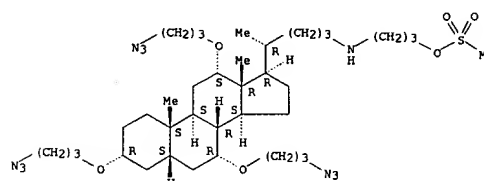
Absolute stereochemistry.



RN 400710-97-6 USPATFULL

CN 1-Propanol, 3-[[[3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-tris(3-azidopropoxy)cholan-24-yl]amino]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

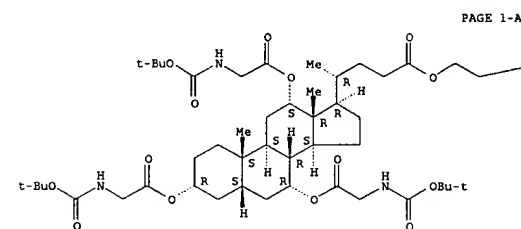


RN 400710-98-7 USPATFULL

CN Carbamic acid, [3-[[[3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-tris(3-azidopropoxy)cholan-24-yl]amino]propyl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 1 OF 5 USPATFULL (Continued)



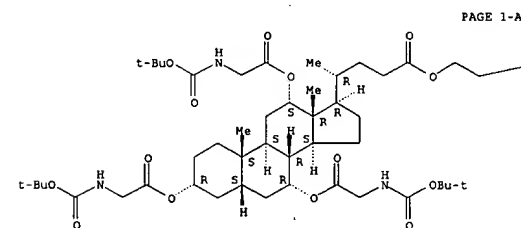
PAGE 1-B

-NMe₂

RN 439940-51-9 USPATFULL

CN Cholan-24-oic acid, 3,7,12-tris[(((1,1-dimethylethoxy)carbonyl)amino)acet yloxy]-, 2-(trimethylammonio)ethyl ester, iodide, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



• I •

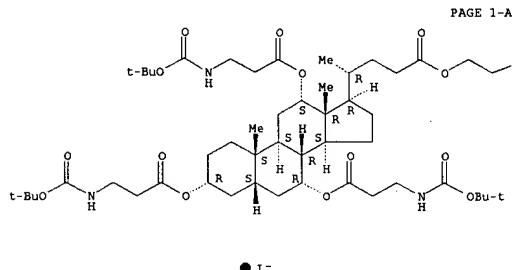
L7 ANSWER 1 OF 5 USPATFULL (Continued)

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-N⁺Me₃

RN 439940-52-0 USPATFULL
 CN Cholan-24-oic acid, 3,7,12-tris[3-[[[1,1-dimethylethoxy)carbonyl]amino]-1-oxopropoxy]-, 2-(trimethylammonio)ethyl ester, iodide, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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-N⁺Me₃

RN 439940-53-1 USPATFULL
 CN Cholan-24-oic acid, 3,7,12-tris[4-[[[1,1-dimethylethoxy)carbonyl]amino]-1-oxobutoxy]-, 2-(trimethylammonio)ethyl ester, iodide, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 2 OF 5 USPATFULL

ACCESSION NUMBER: 2002:112898 USPATFULL
 TITLE: Targeted oligonucleotide conjugates
 INVENTOR(S): Manoharan, Muthiah, Carlsbad, CA, UNITED STATES
 PATENT ASSIGNEE(S): ISIS Pharmaceuticals, Inc. (U.S. corporation)

| PATENT INFORMATION: | NUMBER | KIND | DATE |
|--|--|------|--------------|
| | US 2002058639 | A1 | 20020516 |
| | US 6525031 | B2 | 20030225 |
| APPLICATION INFO.: | US 2001-934424 | A1 | 20010821 (9) |
| RELATED APPLN. INFO.: | Division of Ser. No. US 1998-37753, filed on 16 Jun 1998, GRANTED, Pat. No. US 6300319 | | |
| DOCUMENT TYPE: | Utility | | |
| FILE SEGMENT: | APPLICATION | | |
| LEGAL REPRESENTATIVE: | Woodcock Washburn Kurtz, Mackiewicz & Norris LLP, One Liberty Place - 46th Floor, Philadelphia, PA, 19103 40 | | |
| NUMBER OF CLAIMS: | 1 | | |
| EXEMPLARY CLAIM: | 1415 | | |
| CAS INDEXING IS AVAILABLE FOR THIS PATENT. | | | |

AB The present invention provides improved ingress of therapeutic and other moieties into cellular targets. In accordance with preferred embodiments, complexes are provided which carry primary moieties, chiefly therapeutic moieties, to such target cells. Such complexes preferably feature cell surface receptor ligands to provide specificity. Such ligands are preferably bound to primary moieties through polyfunctional manifold compounds.

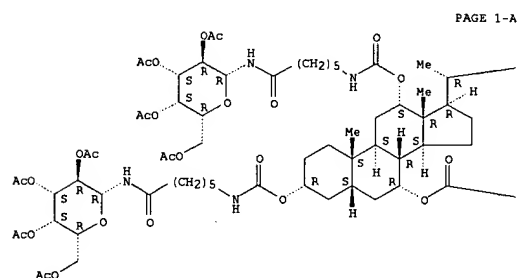
IT 252769-11-2P 252769-12-3P 252769-13-4P

252769-14-5P 252769-15-6P
 (prepn. of targeted oligonucleotide conjugates useful as drug delivery agents)

RN 252769-11-2 USPATFULL

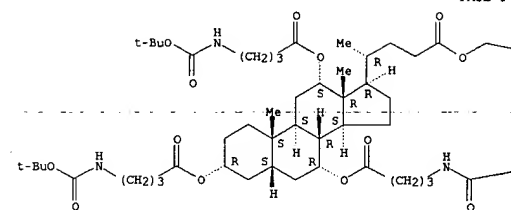
CN Cholan-3,7,12-triol, 24-[[[1,1-dimethylethyl)diphenylsilyl]oxy]-, tris[[6-oxo-6-[[[2,3,4,6-tetra-O-acetyl-.beta.-D-galactopyranosyl]amino]hexyl]carbamate], (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 1 OF 5 USPATFULL (Continued)

PAGE 1-A

● I⁻

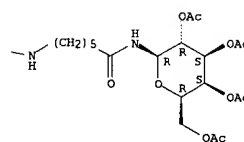
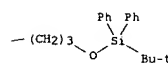
PAGE 1-B

-N⁺Me₃

-OBu-t

L7 ANSWER 2 OF 5 USPATFULL (Continued)

PAGE 1-B

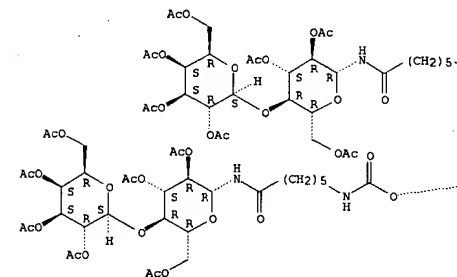


RN 252769-12-3 USPATFULL

CN Cholan-3,7,12-triol, 24-[[[1,1-dimethylethyl)diphenylsilyl]oxy]-, tris[[6-oxo-6-[[[2,3,6-tri-O-acetyl-4-O-(2,3,4,6-tetra-O-acetyl-.beta.-D-galactopyranosyl)-.beta.-D-glucopyranosyl]amino]hexyl]carbamate], (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

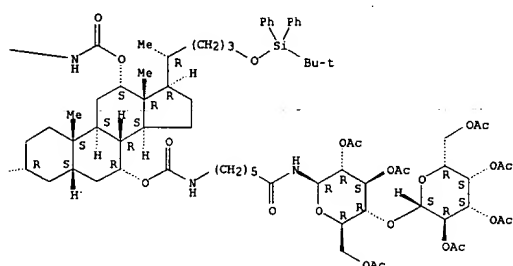
Absolute stereochemistry.

PAGE 1-A



L7 ANSWER 2 OF 5 USPATFULL (Continued)

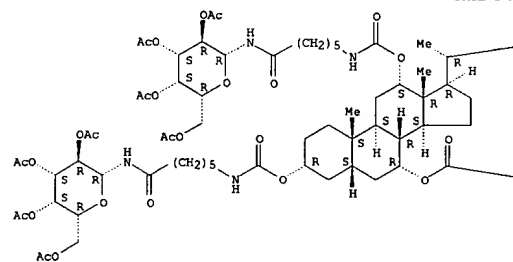
PAGE 1-B



RN 252769-13-4 USPATFULL
 CN Choline-3,7,12,24-tetrol, 3,7,12-tris[[6-oxo-6-[(2,3,4,6-tetra-O-acetyl-beta-D-galactopyranosyl)amino]hexyl]carbamate], (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

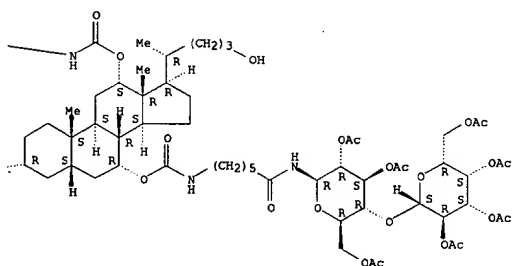
Absolute stereochemistry.

PAGE 1-A



L7 ANSWER 2 OF 5 USPATFULL (Continued)

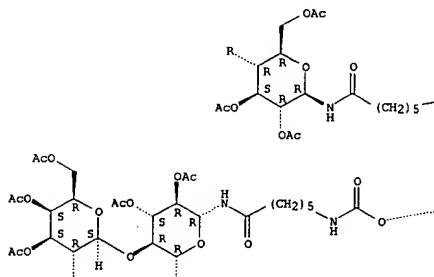
PAGE 1-B



RN 252769-15-6 USPATFULL
 CN Choline-3,7,12-triol, 24-[[bis(4-methoxyphenyl)phenylmethoxy]-tris[[6-oxo-6-[[2,3,6-tri-O-acetyl-4-O-(2,3,4,6-tetra-O-acetyl-beta-D-galactopyranosyl)-beta-D-glucopyranosyl]amino]hexyl]carbamate], (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

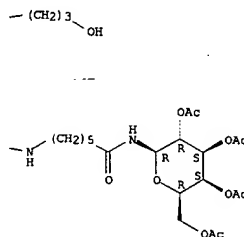
Absolute stereochemistry.

PAGE 1-A



L7 ANSWER 2 OF 5 USPATFULL (Continued)

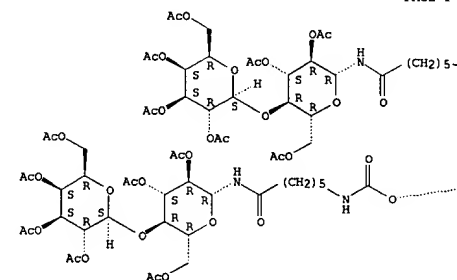
PAGE 1-B



RN 252769-14-5 USPATFULL
 CN Choline-3,7,12,24-tetrol, 3,7,12-tris[[6-oxo-6-[[2,3,6-tri-O-acetyl-4-O-(2,3,4,6-tetra-O-acetyl-beta-D-galactopyranosyl)-beta-D-glucopyranosyl]amino]hexyl]carbamate], (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

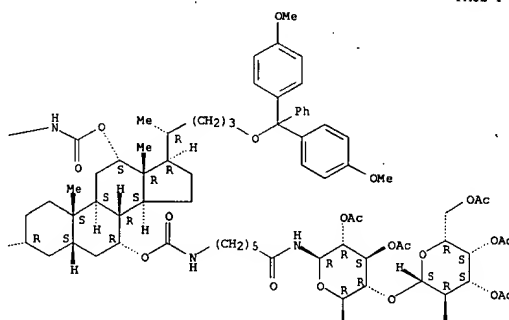
Absolute stereochemistry.

PAGE 1-A

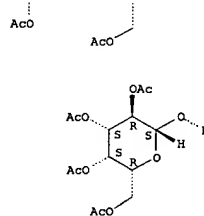


L7 ANSWER 2 OF 5 USPATFULL (Continued)

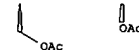
PAGE 1-B



PAGE 2-A



PAGE 2-B



L7 ANSWER 3 OF 5 USPATFULL
 ACCESSION NUMBER: 2002:39914 USPATFULL
 TITLE: Steroid derived antibiotics
 INVENTOR(S): Savage, Paul B., Springville, UT, United States
 Li, Chunhong, Provo, UT, United States
 PATENT ASSIGNEE(S): Brigham Young University, Provo, UT, United States
 (U.S. corporation)

| NUMBER | KIND | DATE |
|--|--|--------------|
| US 6350738 | B1 | 20020226 |
| US 1999-234008 | | 19990119 (9) |
| Continuation-in-part of Ser. No. WO 1998-US4489, filed on 6 Mar 1998 | | |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | GRANTED | |
| PRIMARY EXAMINER: | Badio, Barbara P. | |
| LEGAL REPRESENTATIVE: | Fish & Richardson P.C. | |
| NUMBER OF CLAIMS: | 14 | |
| EXEMPLARY CLAIM: | 1 | |
| NUMBER OF DRAWINGS: | 8 Drawing Figure(s); 5 Drawing Page(s) | |
| LINE COUNT: | 3087 | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A series of novel steroid derivatives are described. The steroid derivatives are antibacterial agents. The steroid derivatives also act to sensitize bacteria to other antibiotics including erythromycin and novobiocin.

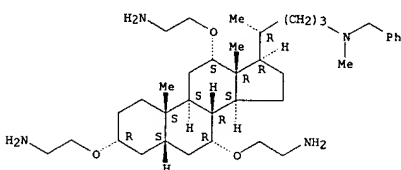
IT 203795-46-4P 203795-47-5P 203795-48-6P
 203795-49-7P

(prepn. of steroidal antibiotics)

RN 203795-46-4 USPATFULL

CN Cholan-24-amine, 3,7,12-tris(2-aminoethoxy)-N-methyl-N-(phenylmethyl)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

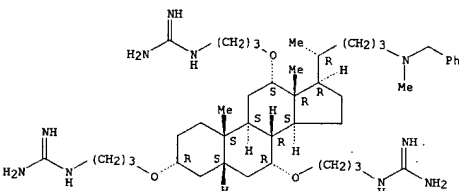


RN 203795-47-5 USPATFULL

CN Cholan-24-amine, 3,7,12-tris(3-aminopropoxy)-N-methyl-N-(phenylmethyl)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 3 OF 5 USPATFULL (Continued)



IT 203795-53-3P 203795-54-4P 203795-55-5P

222021-69-4P 241161-83-1P 241161-84-2P

241161-85-3P 241161-86-4P 241161-93-3P

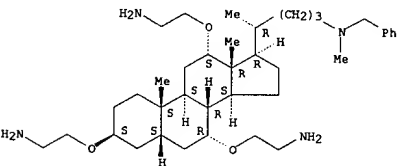
241161-94-4P 241161-95-5P 241161-96-6P

(prepn. of steroidal antibiotics)

RN 203795-53-3 USPATFULL

CN Cholan-24-amine, 3,7,12-tris(2-aminoethoxy)-N-methyl-N-(phenylmethyl)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



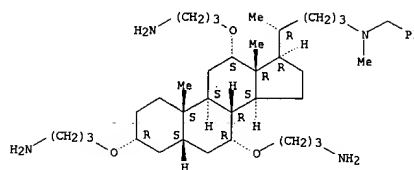
RN 203795-54-4 USPATFULL

CN Cholan-24-ol, 3,7,12-tris(3-aminopropoxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



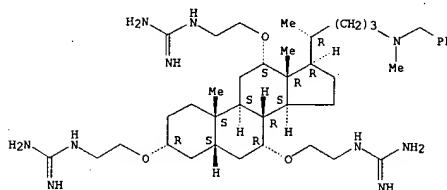
L7 ANSWER 3 OF 5 USPATFULL (Continued)



RN 203795-48-6 USPATFULL

CN Guanidine, N,N',N''-[[[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phenylmethyl)amino]cholan-3,7,12-triyl]tris(oxy-2,1-ethanediyl)]tris- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

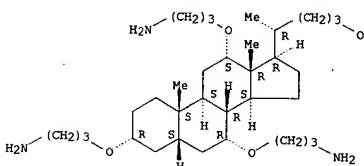


RN 203795-49-7 USPATFULL

CN Guanidine, N,N',N''-[[[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phenylmethyl)amino]cholan-3,7,12-triyl]tris(oxy-3,1-propanediyl)]tris- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

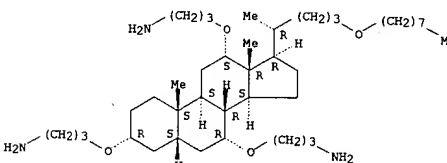
L7 ANSWER 3 OF 5 USPATFULL (Continued)



RN 203795-55-5 USPATFULL

CN 1-Propanamine, 3,3',3''-[[[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-(octyloxy)cholan-3,7,12-triyl]tris(oxy)]tris- (9CI) (CA INDEX NAME)

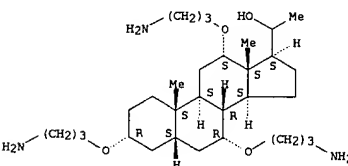
Absolute stereochemistry.



RN 222021-69-4 USPATFULL

CN Pregnan-20-ol, 3,7,12-tris(3-aminopropoxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



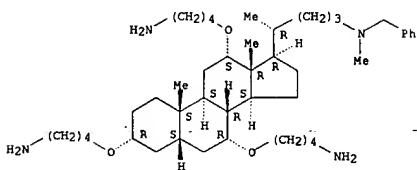
RN 241161-83-1 USPATFULL

CN Cholan-24-amine, 3,7,12-tris(4-aminobutoxy)-N-methyl-N-(phenylmethyl)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

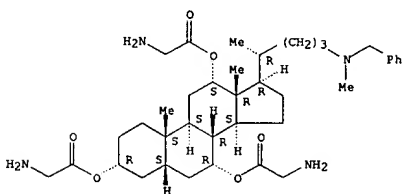


L7 ANSWER 3 OF 5 USPATFULL (Continued)



RN 241161-84-2 USPATFULL
CN Glycine, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phenylmethyl)amino]cholane-3,7,12-triyl ester, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



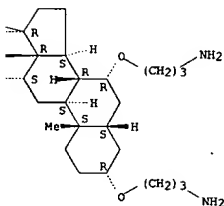
● 3 HCl

RN 241161-85-3 USPATFULL
CN .beta.-Alanine, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phenylmethyl)amino]cholane-3,7,12-triyl ester, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

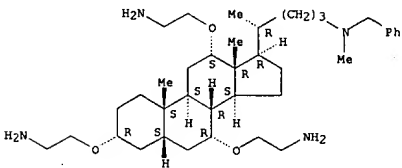
L7 ANSWER 3 OF 5 USPATFULL (Continued)

PAGE 1-B



RN 241161-93-3 USPATFULL
CN Cholan-24-amine, 3,7,12-tris(2-aminopropoxy)-N-methyl-N-(phenylmethyl)-, hydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

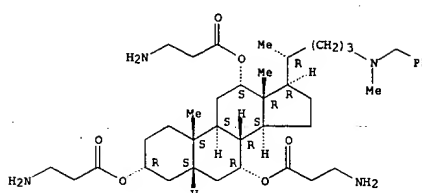


● x HCl

RN 241161-94-4 USPATFULL
CN Cholan-24-amine, 3,7,12-tris(3-aminopropoxy)-N-methyl-N-(phenylmethyl)-, hydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

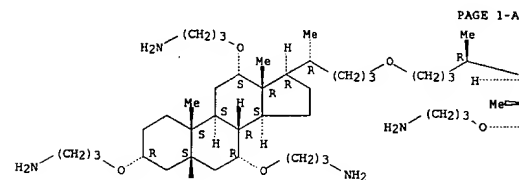
L7 ANSWER 3 OF 5 USPATFULL (Continued)



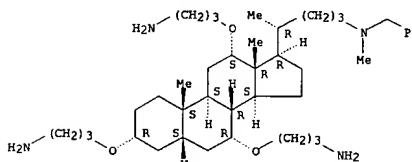
● 3 HCl

RN 241161-86-4 USPATFULL
CN 1-Propanamine, 3,3',3'',3''',3''',3''''-[oxybis(3.alpha.,5.beta.,7.alpha.,12.alpha.)-cholane-24,3,7,12-tetrayltris(oxy)]hexakis- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



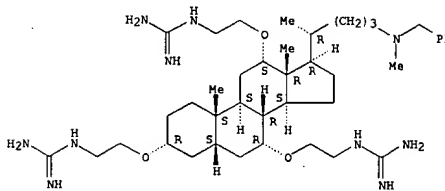
L7 ANSWER 3 OF 5 USPATFULL (Continued)



● x HCl

RN 241161-95-5 USPATFULL
CN Guanidine, N,N''',N''''-[[[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phenylmethyl)amino]cholane-3,7,12-triyl]tris(oxy-2,1-ethanediyl)]tris-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

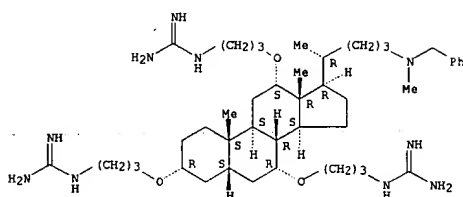


● x HCl

RN 241161-96-6 USPATFULL
CN Guanidine, N,N''',N''''-[[[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phenylmethyl)amino]cholane-3,7,12-triyl]tris(oxy-3,1-propanediyl)]tris-, hydrochloride (9CI) (CA INDEX NAME)

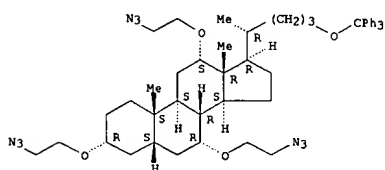
Absolute stereochemistry.

L7 ANSWER 3 OF 5 USPATFULL (Continued)



• x HCl

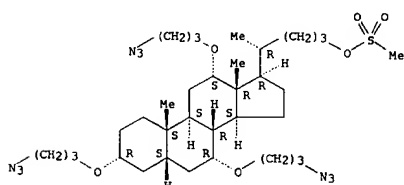
IT 203795-51-1P 203795-67-9P 203795-70-4P
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 203795-82-8P 203795-94-2P 203795-95-3P
 203795-96-4P 203796-02-5P 222022-02-8P
 241161-89-7P 241161-90-0P 241161-91-1P
 241161-92-2P
 (prepn. of steroidal antibiotics)
 RN 203795-51-1 USPATFULL
 CN Cholan, 3,7,12-tris(2-azidoethoxy)-24-(triphenylmethoxy)-,
 (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



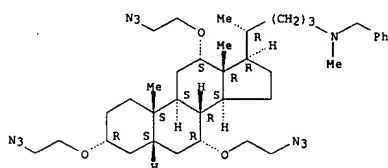
RN 203795-67-9 USPATFULL
 CN Cholan-24-ol, 3,7,12-tris(2-azidoethoxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

L7 ANSWER 3 OF 5 USPATFULL (Continued)

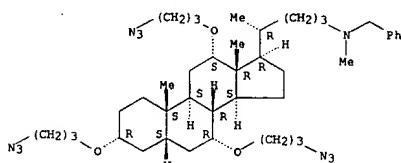
RN 203795-76-0 USPATFULL
 CN Cholan-24-ol, 3,7,12-tris(3-azidopropoxy)-, methanesulfonate (ester),
 (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



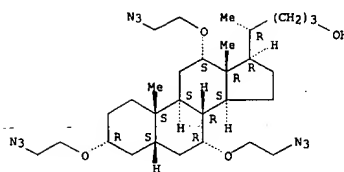
RN 203795-79-3 USPATFULL
 CN Cholan-24-amine, 3,7,12-tris(2-azidoethoxy)-N-methyl-N-(phenylmethyl)-,
 (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



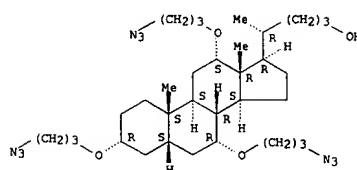
RN 203795-82-8 USPATFULL
 CN Cholan-24-amine, 3,7,12-tris(3-azidopropoxy)-N-methyl-N-(phenylmethyl)-,
 (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



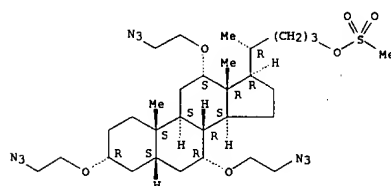
L7 ANSWER 3 OF 5 USPATFULL (Continued)



RN 203795-70-4 USPATFULL
 CN Cholan-24-ol, 3,7,12-tris(3-azidopropoxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

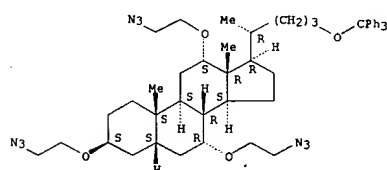


RN 203795-73-7 USPATFULL
 CN Cholan-24-ol, 3,7,12-tris(2-azidoethoxy)-, methanesulfonate (ester),
 (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

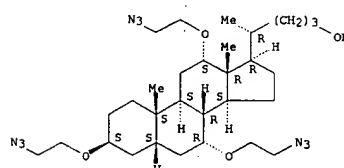


L7 ANSWER 3 OF 5 USPATFULL (Continued)

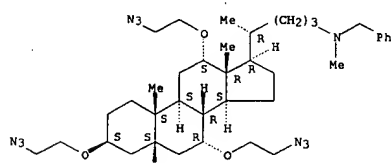
RN 203795-94-2 USPATFULL
 CN Cholan, 3,7,12-tris(2-azidoethoxy)-24-(triphenylmethoxy)-,
 (3.beta.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



RN 203795-95-3 USPATFULL
 CN Cholan-24-ol, 3,7,12-tris(2-azidoethoxy)-, (3.beta.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

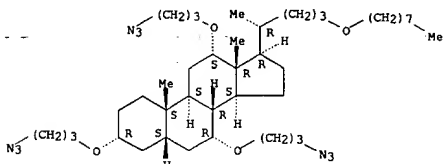


RN 203795-96-4 USPATFULL
 CN Cholan-24-amine, 3,7,12-tris(2-azidoethoxy)-N-methyl-N-(phenylmethyl)-,
 (3.beta.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

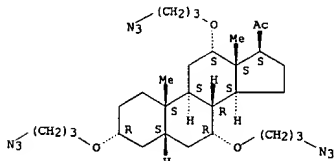


L7 ANSWER 3 OF 5 USPATFULL (Continued)

RN 203796-02-5 USPATFULL
 CN Choline, 3,7,12-tris(3-azidopropoxy)-24-(octyloxy)-,
 (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

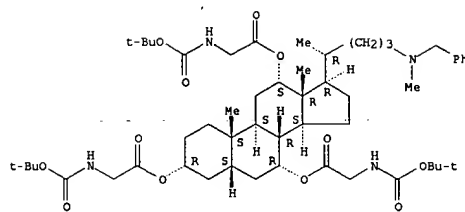


RN 222022-02-8 USPATFULL
 CN Pregnan-20-one, 3,7,12-tris(3-azidopropoxy)-,
 (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

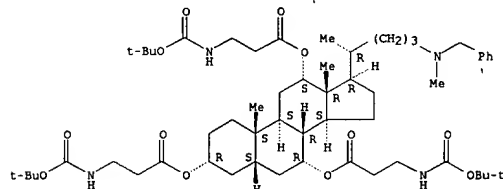


RN 241161-89-7 USPATFULL
 CN Glycine, N-[(1,1-dimethylethoxy)carbonyl]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phenylmethyl)amino]choline-3,7,12-triyl ester (9CI)
 (CA INDEX NAME)
 Absolute stereochemistry.

L7 ANSWER 3 OF 5 USPATFULL (Continued)

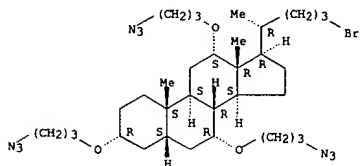


RN 241161-90-0 USPATFULL
 CN .beta.-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-,
 (3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phenylmethyl)amino]chol
 ane-3,7,12-triyl ester (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

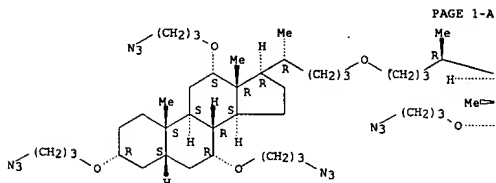


RN 241161-91-1 USPATFULL
 CN Choline, 3,7,12-tris(3-azidopropoxy)-24-bromo-,
 (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

L7 ANSWER 3 OF 5 USPATFULL (Continued)

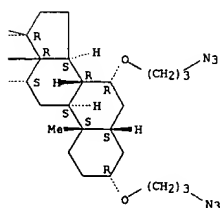


RN 241161-92-2 USPATFULL
 CN Choline, 24,24'-oxybis[3,7,12-tris(3-azidopropoxy)-,
 (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (3'.alpha.,5'.beta.,7'.alpha.,12'.alpha.)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



PAGE 1-A

PAGE 1-B



L7 ANSWER 3 OF 5 USPATFULL (Continued)

L7 ANSWER 4 OF 5 USPATFULL
 ACCESSION NUMBER: 2002:32554 USPATFULL
 TITLE: Steroid derived antibiotics
 INVENTOR(S): Savage, Paul B., Springville, UT, UNITED STATES
 Li, Chunhong, Provo, UT, UNITED STATES
 PATENT ASSIGNEE(S): Brigham Young University, a Utah corporation (U.S. corporation)

| | NUMBER | KIND | DATE |
|-----------------------|--|------|--------------|
| PATENT INFORMATION: | US 2002019376 | A1 | 20020214 |
| FILE SEGMENT: | US 6486148 | B2 | 20021126 |
| APPLICATION INFO.: | US 2001-927926 | A1 | 20010810 (9) |
| RELATED APPLN. INFO.: | Division of Ser. No. US 1999-234008, filed on 19 Jan 1999, PENDING Continuation-in-part of Ser. No. WO 1998-US4489, filed on 6 Mar 1998, UNKNOWN | | |
| DOCUMENT TYPE: | Utility | | |
| LEGAL REPRESENTATIVE: | APPLICATION JOHN W. FREEMAN, ESQ., Fish & Richardson P.C., 225 Franklin Street, Boston, MA, 02110-2804 | | |
| NUMBER OF CLAIMS: | 107 | | |
| EXEMPLARY CLAIM: | 1 | | |
| NUMBER OF DRAWINGS: | 5 Drawing Page(s) | | |
| LINE COUNT: | 3583 | | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
 AB A series of novel steroid derivatives are described. The steroid derivatives are antibacterial agents. The steroid derivatives also act to sensitize bacteria to other antibiotics including erythromycin and novobiocin.

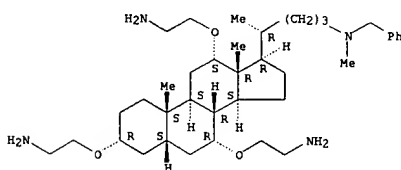
IT 203795-46-4P 203795-47-5P 203795-48-6P
 203795-49-7P

(prepn. of steroidal antibiotics)

RN 203795-46-4 USPATFULL

CN Cholan-24-amine, 3,7,12-tris(2-aminoethoxy)-N-methyl-N-(phenylmethyl)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

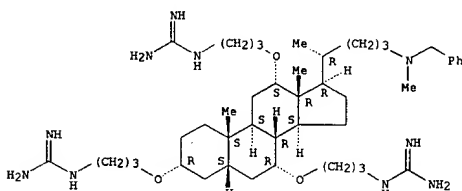


RN 203795-47-5 USPATFULL

CN Cholan-24-amine, 3,7,12-tris(3-aminopropoxy)-N-methyl-N-(phenylmethyl)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 4 OF 5 USPATFULL (Continued)



IT 203795-53-3P 203795-54-4P 203795-55-5P

222021-69-4P 241161-83-1P 241161-84-2P

241161-85-3P 241161-86-4P 241161-93-3P

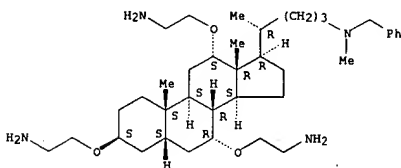
241161-94-4P 241161-95-5P 241161-96-6P

(prepn. of steroidal antibiotics)

RN 203795-53-3 USPATFULL

CN Cholan-24-amine, 3,7,12-tris(2-aminoethoxy)-N-methyl-N-(phenylmethyl)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

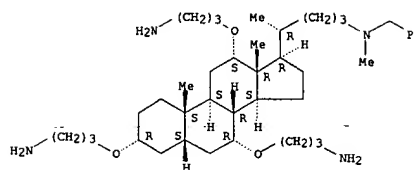


RN 203795-54-4 USPATFULL

CN Cholan-24-ol, 3,7,12-tris(3-aminopropoxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

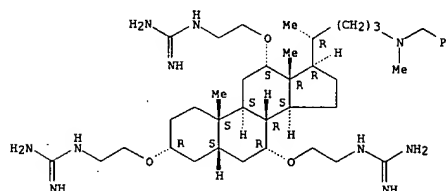
L7 ANSWER 4 OF 5 USPATFULL (Continued)



RN 203795-48-6 USPATFULL

CN Guanidine, N,N''',N''''-[[[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phenylmethyl)amino]cholan-3,7,12-triyl]tris(oxy-2,1-ethanediyl)]tris- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

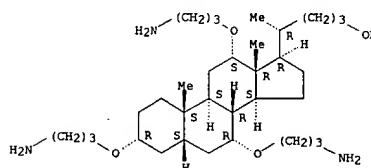


RN 203795-49-7 USPATFULL

CN Guanidine, N,N''',N''''-[[[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phenylmethyl)amino]cholan-3,7,12-triyl]tris(oxy-3,1-propanediyl)]tris- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

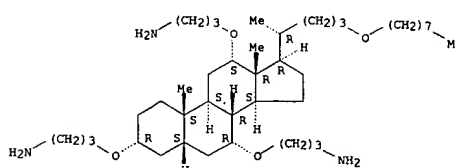
L7 ANSWER 4 OF 5 USPATFULL (Continued)



RN 203795-55-5 USPATFULL

CN 1-Propanamine, 3,3',3''-[[[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-(octyloxy)cholan-3,7,12-triyl]tris(oxy)]tris- (9CI) (CA INDEX NAME)

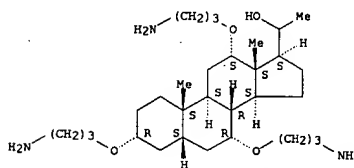
Absolute stereochemistry.



RN 222021-69-4 USPATFULL

CN Pregnane-20-ol, 3,7,12-tris(3-aminopropoxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

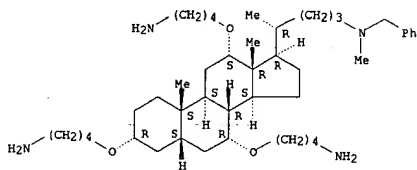


RN 241161-83-1 USPATFULL

CN Cholan-24-amine, 3,7,12-tris(4-aminobutoxy)-N-methyl-N-(phenylmethyl)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

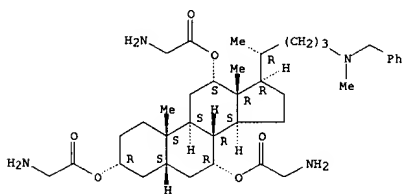
Absolute stereochemistry.

L7 ANSWER 4 OF 5 USPATFULL (Continued)



RN 241161-84-2 USPATFULL
CN Glycine, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phenylmethyl)amino]cholane-3,7,12-triyl ester, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



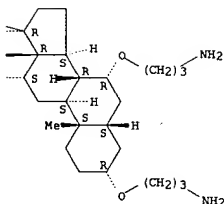
● 3 HCl

RN 241161-85-3 USPATFULL
CN .beta.-Alanine, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phenylmethyl)amino]cholane-3,7,12-triyl ester, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

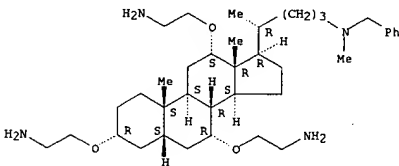
L7 ANSWER 4 OF 5 USPATFULL (Continued)

PAGE 1-B



RN 241161-93-3 USPATFULL
CN Cholan-24-amine, 3,7,12-tris(2-aminoethoxy)-N-methyl-N-(phenylmethyl)-, hydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

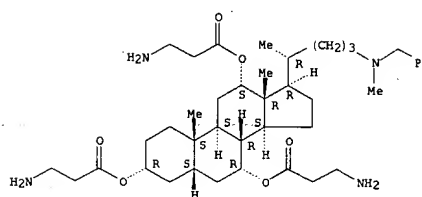


● x HCl

RN 241161-94-4 USPATFULL
CN Cholan-24-amine, 3,7,12-tris(3-aminopropoxy)-N-methyl-N-(phenylmethyl)-, hydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

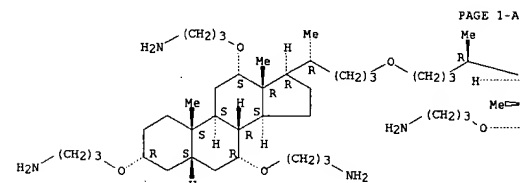
L7 ANSWER 4 OF 5 USPATFULL (Continued)



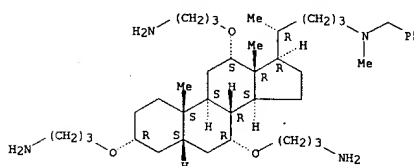
● 3 HCl

RN 241161-86-4 USPATFULL
CN 1-Propanamine, 3,3',3'',3''',3''',3''''-[oxybis[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-cholane-24,3,7,12-tetrayltris(oxy)]]hexakis- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



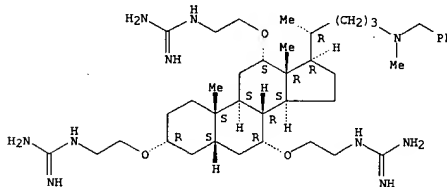
L7 ANSWER 4 OF 5 USPATFULL (Continued)



● x HCl

RN 241161-95-5 USPATFULL
CN Guanidine, N,N''',N''''-[[[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phenylmethyl)amino]cholane-3,7,12-triyl]tris(oxy-2,1-ethanediyl)]tris-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

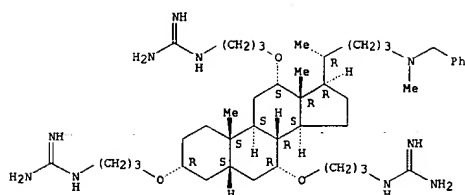


● x HCl

RN 241161-96-6 USPATFULL
CN Guanidine, N,N''',N''''-[[[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phenylmethyl)amino]cholane-3,7,12-triyl]tris(oxy-3,1-propanediyl)]tris-, hydrochloride (9CI) (CA INDEX NAME)

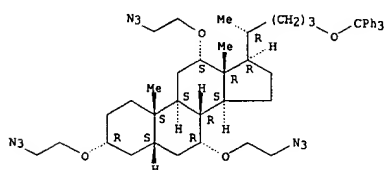
Absolute stereochemistry.

L7 ANSWER 4 OF 5 USPATFULL (Continued)



● x HCl

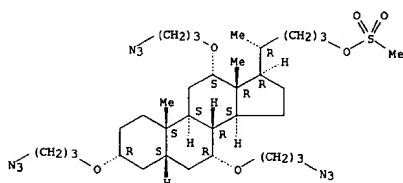
IT 203795-51-1P 203795-67-9P 203795-70-4P
 203795-73-7P 203795-76-0P 203795-79-3P
 203795-82-8P 203795-94-2P 203795-95-3P
 203795-96-4P 203796-02-5P 222022-02-8P
 241161-89-7P 241161-90-0P 241161-91-1P
 241161-92-2P
 (prepn. of steroidal antibiotics)
 RN 203795-51-1 USPATFULL
 CN Cholan-24-ol, 3,7,12-tris(2-azidoethoxy)-24-(triphenylmethoxy)-,
 (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



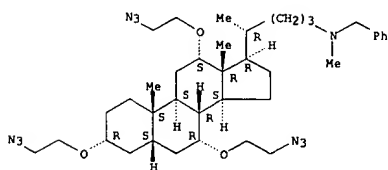
RN 203795-67-9 USPATFULL
 CN Cholan-24-ol, 3,7,12-tris(2-azidoethoxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

L7 ANSWER 4 OF 5 USPATFULL (Continued)

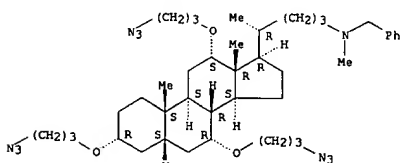
RN 203795-76-0 USPATFULL
 CN Cholan-24-ol, 3,7,12-tris(3-azidopropoxy)-, methanesulfonate (ester),
 (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



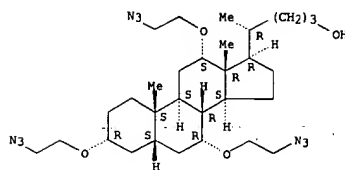
RN 203795-79-3 USPATFULL
 CN Cholan-24-amine, 3,7,12-tris(2-azidoethoxy)-N-methyl-N-(phenylmethyl)-,
 (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



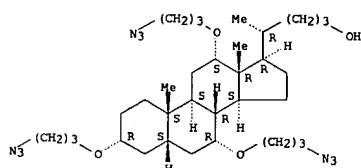
RN 203795-82-8 USPATFULL
 CN Cholan-24-amine, 3,7,12-tris(3-azidopropoxy)-N-methyl-N-(phenylmethyl)-,
 (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



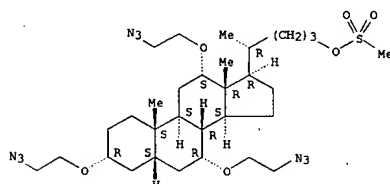
L7 ANSWER 4 OF 5 USPATFULL (Continued)



RN 203795-70-4 USPATFULL
 CN Cholan-24-ol, 3,7,12-tris(3-azidopropoxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

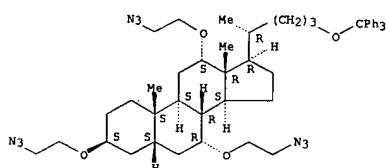


RN 203795-73-7 USPATFULL
 CN Cholan-24-ol, 3,7,12-tris(2-azidoethoxy)-, methanesulfonate (ester),
 (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

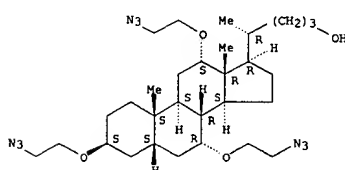


L7 ANSWER 4 OF 5 USPATFULL (Continued)

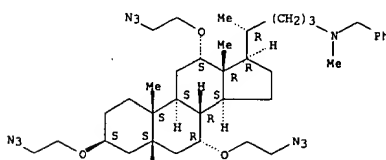
RN 203795-94-2 USPATFULL
 CN Cholan-24-ol, 3,7,12-tris(2-azidoethoxy)-24-(triphenylmethoxy)-,
 (3.beta.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



RN 203795-95-3 USPATFULL
 CN Cholan-24-ol, 3,7,12-tris(2-azidoethoxy)-, (3.beta.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



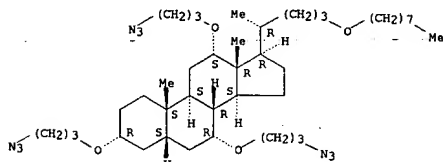
RN 203795-96-4 USPATFULL
 CN Cholan-24-amine, 3,7,12-tris(2-azidoethoxy)-N-methyl-N-(phenylmethyl)-,
 (3.beta.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



L7 ANSWER 4 OF 5 USPATFULL (Continued)

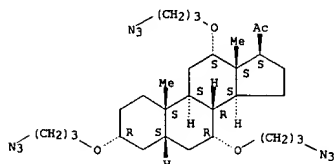
RN 203796-02-5 USPATFULL
 CN Cholane, 3,7,12-tris(3-azidopropoxy)-24-(octyloxy)-,
 (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 222022-02-8 USPATFULL
 CN Pregnane-20-one, 3,7,12-tris(3-azidopropoxy)-,
 (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

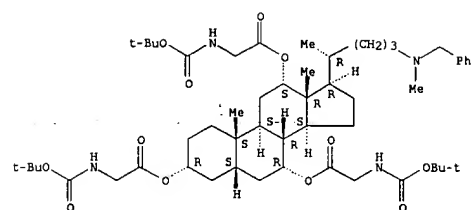
Absolute stereochemistry.



RN 241161-89-7 USPATFULL
 CN Glycine, N-[(1,1-dimethylethoxy)carbonyl]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phenylmethyl)amino]cholane-3,7,12-triyl ester (9CI)
 (CA INDEX NAME)

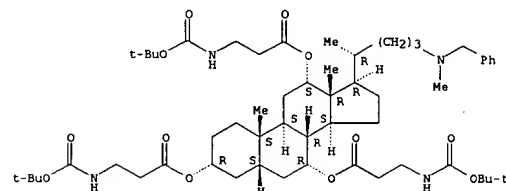
Absolute stereochemistry.

L7 ANSWER 4 OF 5 USPATFULL (Continued)



RN 241161-90-0 USPATFULL
 CN .beta.-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-,
 (3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phenylmethyl)amino]chol
 ane-3,7,12-triyl ester (9CI) (CA INDEX NAME)

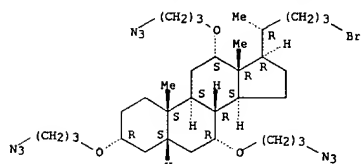
Absolute stereochemistry.



RN 241161-91-1 USPATFULL
 CN Cholane, 3,7,12-tris(3-azidopropoxy)-24-bromo-,
 (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

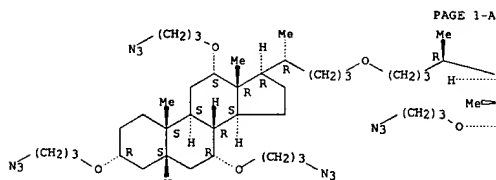
Absolute stereochemistry.

L7 ANSWER 4 OF 5 USPATFULL (Continued)



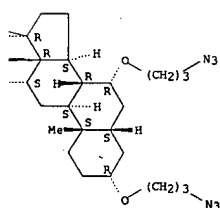
RN 241161-92-2 USPATFULL
 CN Cholane, 24,24'-oxybis[3,7,12-tris(3-azidopropoxy)-,
 (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (3'.alpha.,5'.beta.,7'.alpha.,12'.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-A

PAGE 1-B



L7 ANSWER 4 OF 5 USPATFULL (Continued)

L7 ANSWER 5 OF 5 USPATFULL
 ACCESSION NUMBER: 2001:173573 USPATFULL
 TITLE: Targeted oligonucleotide conjugates
 INVENTOR(S): Manoharan, Muthiah, Carlsbad, CA, United States
 PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., Carlsbad, CA, United States
 (U.S. corporation)

| | NUMBER | KIND | DATE |
|-----------------------|---|------|--------------|
| PATENT INFORMATION: | US 6300319 | B1 | 20011009 |
| APPLICATION INFO.: | US 1998-97753 | | 19980616 (9) |
| DOCUMENT TYPE: | Utility | | |
| FILE SEGMENT: | GRANTED | | |
| PRIMARY EXAMINER: | Riley, Jezia | | |
| LEGAL REPRESENTATIVE: | Woodcock Washburn Kurtz Mackiewicz & Norris LLP | | |
| NUMBER OF CLAIMS: | 25 | | |
| EXEMPLARY CLAIM: | 1 | | |
| LINE COUNT: | 1642 | | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides improved means of therapeutic and other moieties into cellular targets. In accordance with preferred embodiments, complexes are provided which carry primary moieties, chiefly therapeutic moieties, to such target cells. Such complexes preferably feature cell surface receptor ligands to provide specificity. Such ligands are preferably bound to primary moieties through polyfunctional manifold compounds.

IT 252769-11-2P 252769-12-3P 252769-13-4P
 252769-14-5P 252769-15-6P
 (prepn. of targeted oligonucleotide conjugates useful as drug delivery agents)

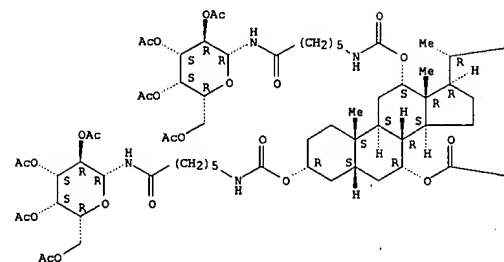
RN 252769-11-2 USPATFULL

CN Choline-3,7,12-triol, 24-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]-, tris[[6-oxo-6-[(2,3,4,6-tetra-O-acetyl-.beta.-D-galactopyranosyl)amino]hexyl]carbamate], (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

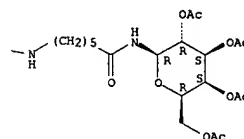
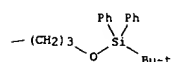
Absolute stereochemistry.

L7 ANSWER 5 OF 5 USPATFULL (Continued)

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PAGE 1-B



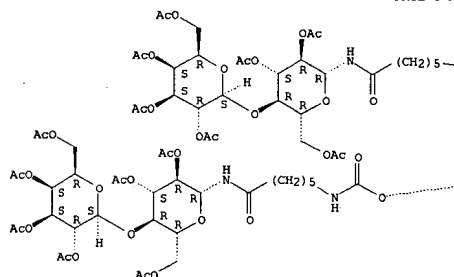
RN 252769-12-3 USPATFULL

CN Choline-3,7,12-triol, 24-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]-, tris[[6-oxo-6-[(2,3,6-tri-O-acetyl-4-O-(2,3,4,6-tetra-O-acetyl-.beta.-D-galactopyranosyl)-.beta.-D-glucopyranosyl)amino]hexyl]carbamate], (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

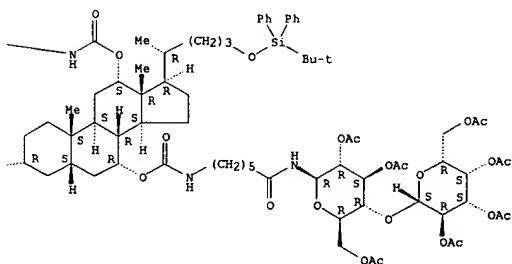
Absolute stereochemistry.

L7 ANSWER 5 OF 5 USPATFULL (Continued)

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PAGE 1-B



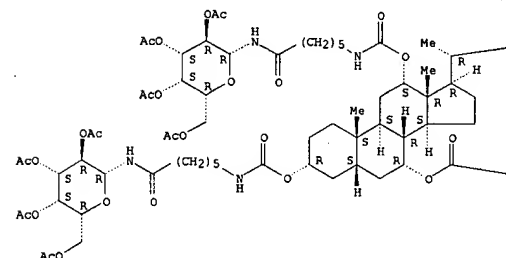
RN 252769-13-4 USPATFULL

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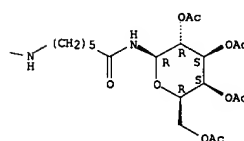
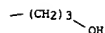
Absolute stereochemistry.

L7 ANSWER 5 OF 5 USPATFULL (Continued)

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PAGE 1-B



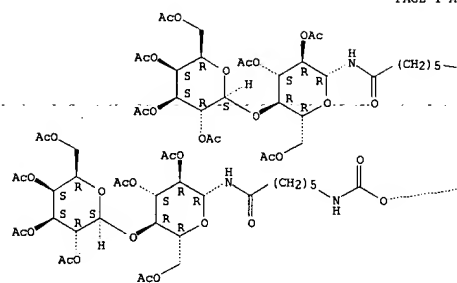
RN 252769-14-5 USPATFULL

CN Choline-3,7,12,24-tetrol, 3,7,12-tris[[6-oxo-6-[(2,3,6-tri-O-acetyl-4-O-(2,3,4,6-tetra-O-acetyl-.beta.-D-galactopyranosyl)-.beta.-D-glucopyranosyl)amino]hexyl]carbamate], (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

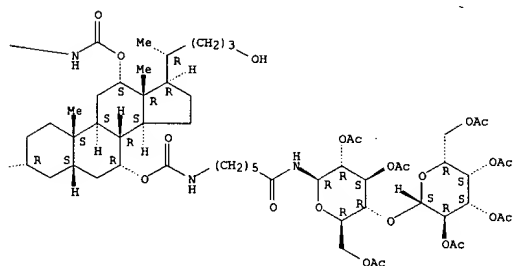
Absolute stereochemistry.

L7 ANSWER 5 OF 5 USPATFULL (Continued)

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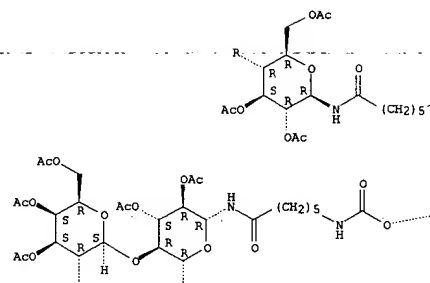
PAGE 1-B



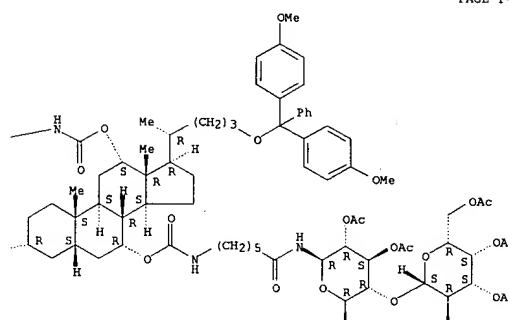
RN 252769-15-6 USPATFULL
 CN Choline-3,7,12-triol, 24-[bis(4-methoxyphenyl)phenylmethoxy]-, tria[6-oxo-6-[[2,3,6-tri-O-acetyl-4-O-(2,3,4,6-tetra-O-acetyl-beta-D-galactopyranosyl)-beta-D-glucopyranosyl]amino]hexyl]carbamate], (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

L7 ANSWER 5 OF 5 USPATFULL (Continued)
Absolute stereochemistry.

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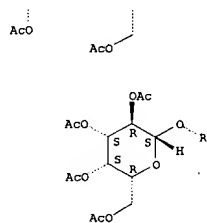


PAGE 1-B



L7 ANSWER 5 OF 5 USPATFULL (Continued)

PAGE 2-A



PAGE 2-B



=> d his

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L2 3026043 S 4/NR
L3 50 S L1 SUB=L2 SAM
L4 QUE L1
L5 STRUCTURE UPLOADED
L6 129 S L5 FULL

FILE 'USPATFULL' ENTERED AT 09:07:46 ON 03 MAR 2003

L7 5 S L6

FILE 'CAPLUS' ENTERED AT 09:10:41 ON 03 MAR 2003

L8 16 S L6
L9 0 S L8 NOT L7

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L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2002:522683 CAPLUS
 DOCUMENT NUMBER: 137:79113
 TITLE: Preparation of steroid derived antibiotics
 INVENTOR(S): Savage, Paul B.; Li, Chunhong
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 62 pp., Cont.-in-part of U.S. Ser. No. 234,008.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

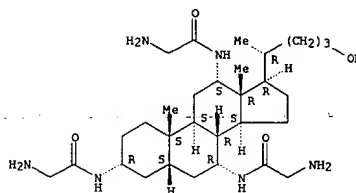
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| US 2002091278 | A1 | 20020711 | US 2001-930316 | 20010815 |
| WO 9944616 | A1 | 19990910 | WO 1998-US4489 | 19980306 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, A2, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG US 6350738 B1 20020226 US 1999-234008 19990119 PRIORITY APPLN. INFO.: WO 1998-US4489 A2 19980306 US 1999-234008 A2 19990119 US 2000-225467P P 20000815 | | | | |

OTHER SOURCE(S): MARPAT 137:79113
 AB Novel steroid derivs. of formula I (R1-R4, R6, R7, R11, R12, R15-R17 = H, OH, alkyl, hydroxyalkyl, alkoxy, alkylamino, aryl, etc.; R5, R8-R10, R13, R14 = H, OH, alkyl, hydroxyalkyl, aminoalkyl, aryl, etc.) are prepd. The steroid derivs. are antibacterial agents. The steroid derivs. also act to sensitize bacteria to other antibiotics including erythromycin and novobiocin. Thus, II was prepd. from Me cholate, allyl bromide and benzylmethylamine in several steps. The prepd. compds. were tested against Gram-neg. bacteria.

IT 222165-26-6P 222165-33-5P 222165-39-1P
 222165-44-8P 222165-50-6P
 RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of steroid derivs. as antibiotics)
 RN 222165-26-6 CAPLUS
 CN Acetamide, N,N',N''-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-hydroxycholeane-3,7,12-triyl]tris[2-amino- (9CI) (CA INDEX NAME)]

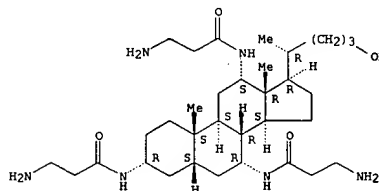
Absolute stereochemistry.

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 222165-33-5 CAPLUS
 CN Propanamide, N,N',N''-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-hydroxycholeane-3,7,12-triyl]tris[3-amino- (9CI) (CA INDEX NAME)]

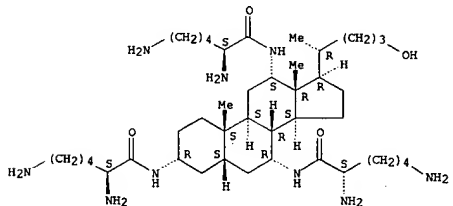
Absolute stereochemistry.



RN 222165-39-1 CAPLUS
 CN Hexanamide, N,N',N''-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-hydroxycholeane-3,7,12-triyl]tris[2,6-diamino-, (2S,2'S,2'')S- (9CI) (CA INDEX NAME)]

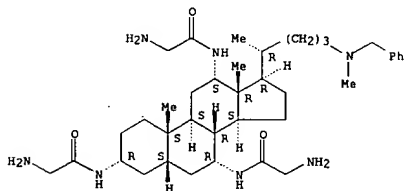
Absolute stereochemistry.

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 222165-44-8 CAPLUS
 CN Acetamide, N,N',N''-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-(methyl(phenylmethyl)amino)choleane-3,7,12-triyl]tris[2-amino- (9CI) (CA INDEX NAME)]

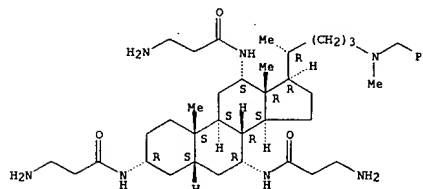
Absolute stereochemistry.



RN 222165-50-6 CAPLUS
 CN Propanamide, N,N',N''-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-(methyl(phenylmethyl)amino)choleane-3,7,12-triyl]tris[3-amino- (9CI) (CA INDEX NAME)]

Absolute stereochemistry.

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)



L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2002:142730 CAPLUS
 DOCUMENT NUMBER: 136:200350
 TITLE: Preparation of steroid derived antibiotics
 INVENTOR(S): Savage, Paul B.; Li, Chunhong
 PATENT ASSIGNEE(S): Brigham Young University, USA
 SOURCE: PCT Int. Appl., 128 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| WO 2002014342 | A1 | 20020221 | WO 2001-US25532 | 20010815 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NU, NZ, OC, OD, OE, OF, OG, OH, OI, OL, OM, ON, OP, OQ, OS, OT, OU, OV, OW, OX, OY, OZ, PA, PE, PG, PH, PK, PL, PM, PN, PP, PQ, PR, PS, PT, PU, PV, PW, PY, PZ, RA, RB, RC, RD, RE, RF, RG, RH, RI, RJ, RK, RL, RM, RN, RO, RU, RW, SA, SB, SC, SD, SE, SF, SG, SH, SI, SK, SL, SM, SN, SO, SP, SR, SS, ST, SU, SV, SW, SY, SZ, TD, TE, TF, TG, TH, TI, TJ, TK, TL, TM, TN, TO, TP, TR, TT, TV, TW, TZ, UA, UB, UC, UD, UE, UF, UG, UH, UI, UJ, UK, UL, UM, UN, UP, UQ, UR, US, UT, UV, UW, UX, UY, UZ, VA, VB, VC, VD, VE, VF, VG, VH, VI, VJ, VK, VL, VM, VN, VO, VP, VQ, VR, VS, VT, VU, VV, VW, VX, VY, VZ, WA, WB, WC, WD, WE, WF, WG, WH, WI, WJ, WK, WL, WM, WN, WO, WP, WQ, WR, WS, WT, WU, WV, WX, WY, WZ, XA, XB, XC, XD, XE, XF, XG, XH, XI, XJ, XK, XL, XM, XN, XO, XP, XQ, XR, XS, XT, XU, XV, XW, XX, XY, XZ, YA, YB, YC, YD, YE, YF, YG, YH, YI, YJ, YK, YL, YM, YN, YO, YP, YQ, YR, YS, YT, YU, YV, YW, YX, YY, YZ, ZA, ZB, ZC, ZD, ZE, ZF, ZG, ZH, ZI, ZJ, ZK, ZL, ZM, ZN, ZO, ZP, ZQ, ZR, ZS, ZT, ZU, ZV, ZW, ZX, ZY, ZZ. | | | | |

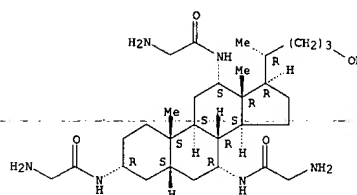
AB Novel steroid derivs., such as I (R1-R4, R6, R7, R11, R12, R15-R17 = H, OH, alkyl, hydroxyalkyl, alkoxyalkyl, alkylcarboxyalkyl aminoalkyl, oxo, steroid, etc.; R5, R8-R10, R13, R14 = H, OH, alkyl, hydroxyalkyl, alkoxyalkyl, aryl, aminoalkoxy, etc.), or a pharmaceutically acceptable salt thereof, are prepd. for use as antibacterial agents. The steroid derivs. also act to sensitize bacteria to other antibiotics including erythromycin and novobiocin. Thus, Me cholate was converted into steroid deriv. II in many steps. The MIC value of II against E. coli (ATCC 10798) was 2 .mu.g/mL.

IT 222165-26-6P 222165-33-5P 222165-44-8P
 222165-50-6P 222165-56-2P 222165-79-9P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of steroidal antibiotics)

RN 222165-26-6 CAPLUS
 CN Acetamide, N,N',N''-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-hydroxycholane-3,7,12-triyl]tris[2-amino- (9CI) (CA INDEX NAME)]

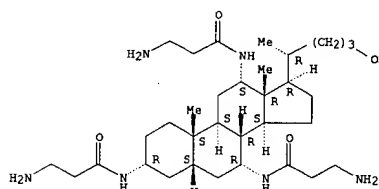
Absolute stereochemistry.

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 222165-33-5 CAPLUS
 CN Propanamide, N,N',N''-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-hydroxycholane-3,7,12-triyl]tris[3-amino- (9CI) (CA INDEX NAME)]

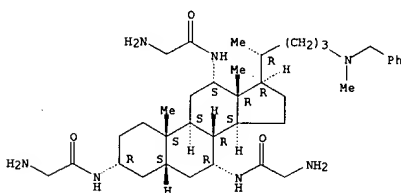
Absolute stereochemistry.



RN 222165-44-8 CAPLUS
 CN Acetamide, N,N',N''-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phenylmethyl)amino]cholane-3,7,12-triyl]tris[2-amino- (9CI) (CA INDEX NAME)]

Absolute stereochemistry.

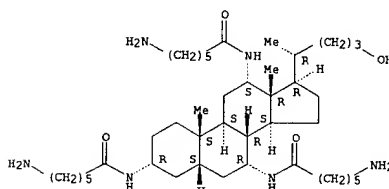
L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 222165-50-6 CAPLUS
 CN Propanamide, N,N',N''-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phenylmethyl)amino]cholane-3,7,12-triyl]tris[3-amino- (9CI) (CA INDEX NAME)]

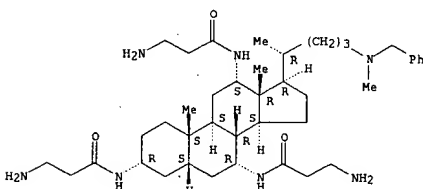
Absolute stereochemistry.

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)



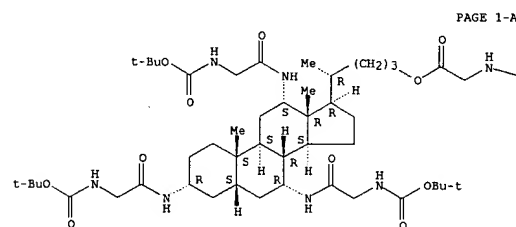
RN 222165-79-9 CAPLUS
 CN Glycine, N-[(1,1-dimethylethoxy)carbonyl]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-tris[[(1,1-dimethylethoxy)carbonyl]amino]acetyl]amino]cholesterol-24-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 222165-56-2 CAPLUS
 CN Hexanamide, N,N',N''-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-hydroxycholane-3,7,12-triyl]tris[6-amino- (9CI) (CA INDEX NAME)]

Absolute stereochemistry.



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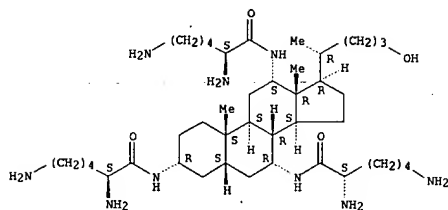
PAGE 1-B

IT 222165-39-1P 222165-83-5P 222165-89-1P
 222165-96-0P 222165-99-3P 222166-04-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of steroidal antibiotics)

RN 222165-39-1 CAPLUS
 CN Hexanamide, N,N',N''-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-hydroxycholane-3,7,12-triyl]tris[2,6-diamino-, (2S,2'S,2'')- (9CI) (CA INDEX NAME)]

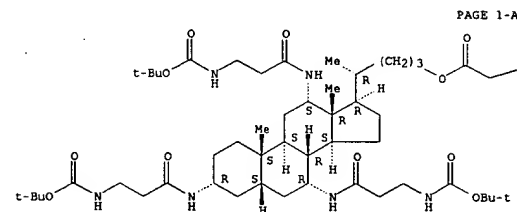
L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)
INDEX NAME

Absolute stereochemistry.

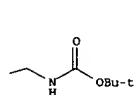


RN 222165-83-5 CAPLUS
CN .beta.-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-tris[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxopropyl]amino]cholan-24-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



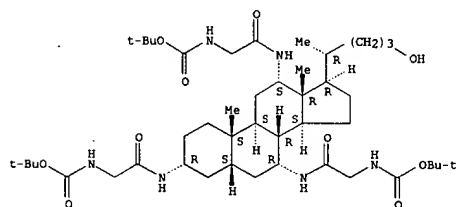
PAGE 1-A



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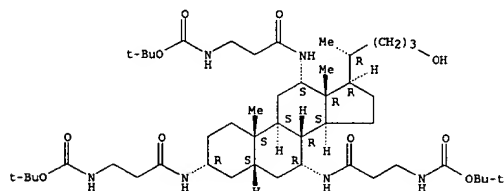
RN 222165-89-1 CAPLUS

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)
Absolute stereochemistry.



RN 222165-99-3 CAPLUS
CN Carbamic acid, [(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-hydroxycholeane-3,7,12-triyl]tris[imino(3-oxo-3,1-propanediyl)]]tris-, tris(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

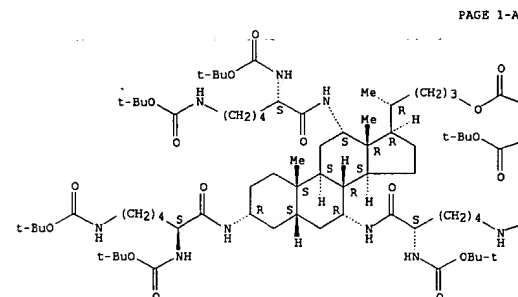


RN 222166-04-3 CAPLUS
CN Carbamic acid, [(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-hydroxycholeane-3,7,12-triyl]tris[imino(2S)-1-oxo-1,2,6-hexanetriyl]]]hexakis-, hexakis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

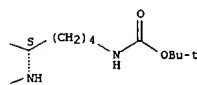
Absolute stereochemistry.

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN L-Lysine, N2,N6-bis[(1,1-dimethylethoxy)carbonyl]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-tris[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxohexyl]amino]cholan-24-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-A



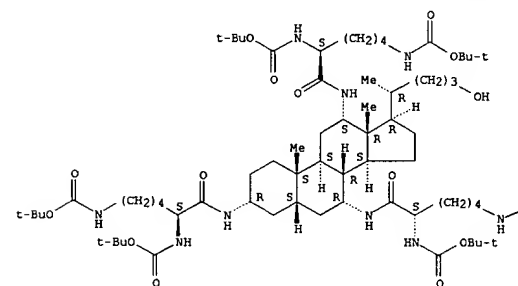
PAGE 1-B



RN 222165-96-0 CAPLUS
CN Carbamic acid, [(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-hydroxycholeane-3,7,12-triyl]tris[imino(2-oxo-2,1-ethanediyl)]]tris-, tris(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)

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PAGE 1-B



REFERENCE COUNT:

1

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2000:679602 CAPLUS
 DOCUMENT NUMBER: 133:362882
 TITLE: Preparation of a Protected Triamino Analogue of Cholic Acid and Sequential Incorporation of Amino Acids in Solution and on a Solid Support
 AUTHOR(S): Zhou, Xiao-Ti; Atiq-ur Rehman; Li, Chunhong; Savage, Paul B.
 CORPORATE SOURCE: Department of Chemistry and Biochemistry, Brigham Young University, Provo, UT, 84602, USA
 SOURCE: Organic Letters (2000), 2(19), 3015-3018
 CODEN: ORLEF7; ISSN: 1523-7060
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 133:362882

AB The authors have prepd. a triamine deriv. of cholic acid with protecting groups on the amines that allow sequential amide formation. The triamine was formed from 3.alpha.,7.alpha.,12.alpha.-trihydroxycholesterol-24-ol with good stereoselectivity. Sequential removal of the amine protecting groups and amide formation was achieved in high-yielding steps and was performed in soln. and on a solid support.

IT 307950-87-4DP, resin-bound 307950-96-5P

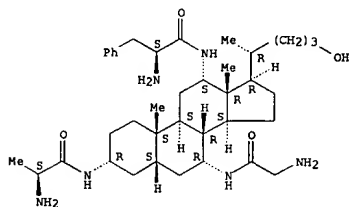
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of a protected triamino analog of cholic acid and sequential incorporation of amino acids in soln. and on a solid support)

RN 307950-87-4 CAPLUS

CN Benzenepropanamide, .alpha.-amino-N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-7-[[[aminoacetyl]amino]-3-[[[(2S)-2-amino-1-oxopropyl]amino]-24-hydroxycholesterol-12-yl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

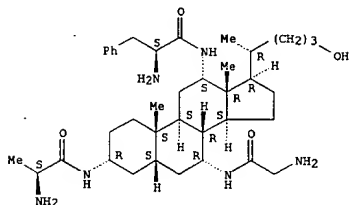


RN 307950-96-5 CAPLUS

CN Carbamic acid, [(1S)-2-[[[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-(benzoyloxy)-7-[[[[(1,1-dimethylethoxy)carbonyl]amino]acetyl]amino]-12-[[[(2S)-2-[[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxo-3-phenylpropyl]amino]cholesterol-3-yl]amino]-1-methyl-2-oxoethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)

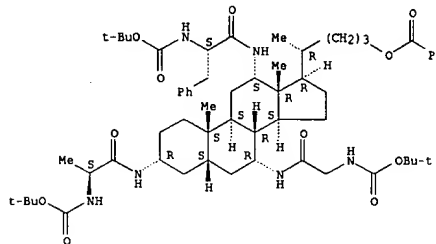
Absolute stereochemistry.



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)
 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

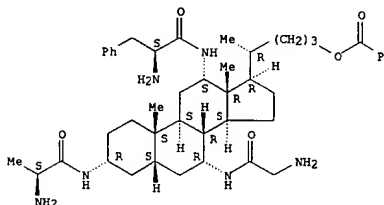
Absolute stereochemistry.



RN 307951-07-1 CAPLUS

CN Benzenepropanamide, .alpha.-amino-N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-7-[[[aminoacetyl]amino]-3-[[[(2S)-2-amino-1-oxopropyl]amino]-24-(benzoyloxy)cholesterol-12-yl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 307950-87-4P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of a protected triamino analog of cholic acid and sequential incorporation of amino acids in soln. and on a solid support)

RN 307950-87-4 CAPLUS

CN Benzenepropanamide, .alpha.-amino-N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-7-[[[aminoacetyl]amino]-3-[[[(2S)-2-amino-1-oxopropyl]amino]-24-hydroxycholesterol-12-yl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:493560 CAPLUS

DOCUMENT NUMBER: 133:120505

TITLE: synthesis and antibacterial activity of cholanolic acid analogs

INVENTOR(S): Savage, Paul B.; Li, Chunhong

PATENT ASSIGNEE(S): Brigham Young University, USA

SOURCE: PCT Int. Appl., 107 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2000042058 | A1 | 20000720 | WO 2000-US1314 | 20000119 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 6350738 | B1 | 20020226 | US 1999-234008 | 19990119 |
| CA 2360060 | AA | 20000720 | CA 2000-2360060 | 20000119 |
| EP 1144432 | A1 | 20011017 | EP 2000-905672 | 20000119 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 2002534532 | T2 | 20021015 | JP 2000-593625 | 20000119 |
| PRIORITY APPLN. INFO.: US 1999-234008 A1 19990119 | | | | |
| WO 1998-US4489 A2 19980306 | | | | |
| WO 2000-US1314 W 20000119 | | | | |

OTHER SOURCE(S):

MAPAT 133:120505

AB A series of novel steroid derivs. (I) [R1 = (un)substituted NH2, NHC(=NH)NH2; R2 = (un)substituted NH2, (un)substituted OH; n = 1, 2] are synthesized and tested as antibacterial agents. Thus, I [R1 = NH2; R2 = N(CH2Ph)Me; n = 2] (II) was prepd. from Me cholate by acid redn. to alc. followed by alc. tritylation and allylation followed by reductive hydroxylation, azidation, deprotection, mesylation, amination and azide redn. The steroid derivs. also act to sensitize bacteria to other antibiotics including erythromycin and novobiocin and II shows an MIC of 4 mu.g/mL with erythromycin and novobiocin against P. aureginosa.

IT 222165-26-6 222165-33-5 222165-39-1

222165-44-8 222165-50-6

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)

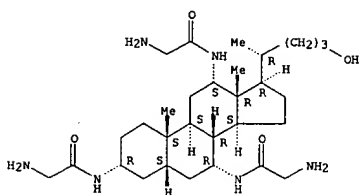
(synthesis and antibacterial activity of cholanolic acid analogs)

RN 222165-26-6 CAPLUS

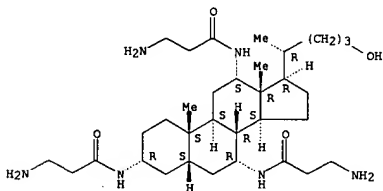
CN Acetamide, N,N',N''-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-hydroxycholesterol-3,7,12-triyl]tris[2-amino- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)

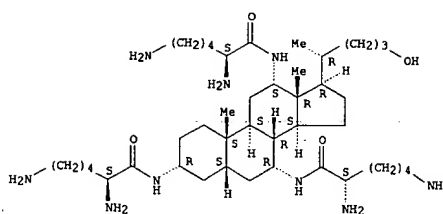


RN 222165-33-5 CAPLUS
 CN Propanamide, N,N',N''-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-hydroxycholeane-3,7,12-triyl]tris[3-amino- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

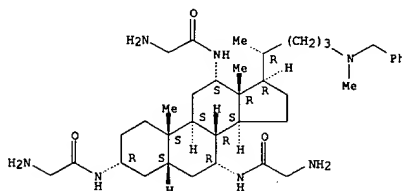


RN 222165-39-1 CAPLUS
 CN Hexanamide, N,N',N''-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-hydroxycholeane-3,7,12-triyl]tris[2,6-diamino-, (2S,2'S,2'')S- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)

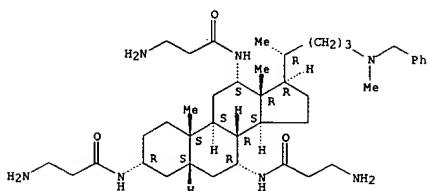


RN 222165-44-8 CAPLUS
 CN Acetamide, N,N',N''-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phenylmethyl)amino]choleane-3,7,12-triyl]tris[3-amino- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

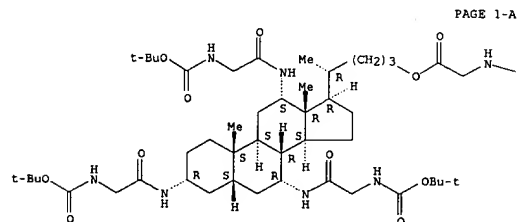


RN 222165-50-6 CAPLUS
 CN Propanamide, N,N',N''-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phenylmethyl)amino]choleane-3,7,12-triyl]tris[3-amino- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)



IT 222165-79-9P 222165-83-5P 222165-89-1P
 222165-96-0P 222165-99-3P 222166-04-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (synthesis and antibacterial activity of cholanolic acid analogs)
 RN 222165-79-9 CAPLUS
 CN Glycine, N-[(1,1-dimethylethoxy)carbonyl]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-tris[[(1,1-dimethylethoxy)carbonyl]amino]acetyl]amino]choleane-24-yl ester (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



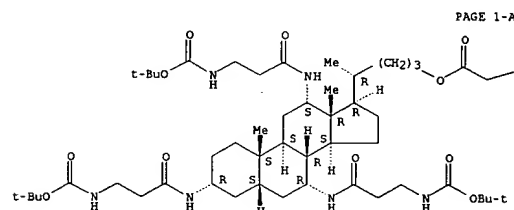
PAGE 1-A



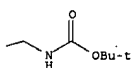
PAGE 1-B

RN 222165-83-5 CAPLUS
 CN .beta.-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-tris[[(1,1-

L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)
 dimethylethoxy]carbonyl]amino]-1-oxopropyl]amino]choleane-24-yl ester (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



PAGE 1-A

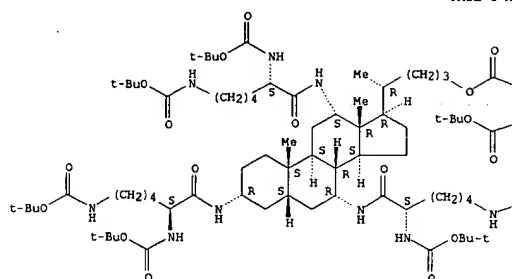


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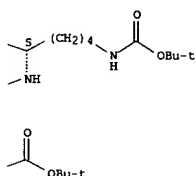
RN 222165-89-1 CAPLUS
 CN L-lysine, N2,N6-bis[(1,1-dimethylethoxy)carbonyl]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-tris[[(2S)-2,6-bis[(1,1-dimethylethoxy)carbonyl]amino]-1-oxohexyl]amino]choleane-24-yl ester (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)

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PAGE 1-B

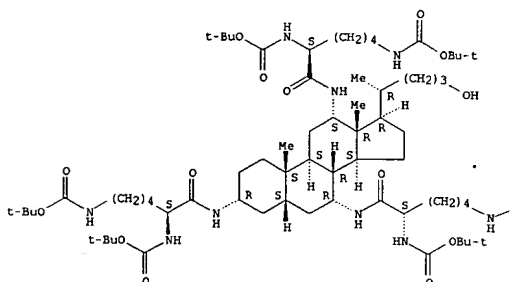


RN 222165-96-0 CAPLUS
 CN Carbamic acid, [[[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-hydroxycholeane-3,7,12-triyl]tris[imino(2-oxo-2,1-ethanediyl)]]]tris-, tris(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

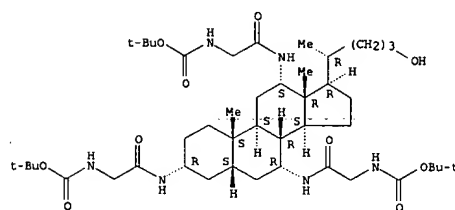


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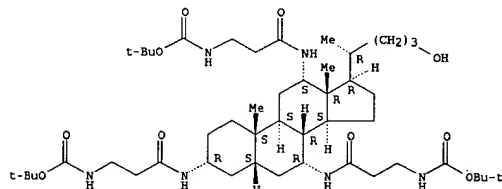
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 222165-99-3 CAPLUS
 CN Carbamic acid, [[[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-hydroxycholeane-3,7,12-triyl]tris[imino(3-oxo-3,1-propanediyl)]]]tris-, tris(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 222166-04-3 CAPLUS
 CN Carbamic acid, [[[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-hydroxycholeane-3,7,12-triyl]tris[imino(2-oxo-2,1-ethanediyl)]]]tris-, tris(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:180651 CAPLUS

DOCUMENT NUMBER: 130:267720

TITLE: Preparation of amino acid-appended cholic acid derivatives as sensitizers of Gram-negative bacteria
 AUTHOR(S): Atiq-ur-Rehman; Li, Chunhong; Budge, Loren P.; Street, Sarah E.; Savage, Paul B.

CORPORATE SOURCE: Department of Chemistry and Biochemistry, Brigham Young University, Provo, UT, 84602, USA

SOURCE: Tetrahedron Letters (1999), 40(10), 1865-1868

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Attachment of amino acids to cholic acid derivs. yielded compds. that sensitize Gram-neg. bacteria to hydrophobic antibiotics. Incorporation of amino acids onto cholic acid derivs. via ester bonds was only achieved with non- α -branched amino acids, such as glycine and β -alanine. However, both non- α -branched and α -branched amino acids, such as glycine, β -alanine and lysine, were coupled to the steroid backbone via amide bonds.

IT 222165-26-6P 222165-33-5P 222165-39-1P

222165-44-8P 222165-50-6P 222165-56-2P

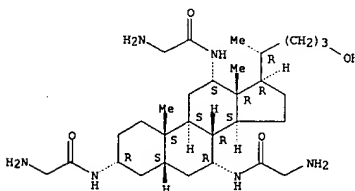
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of amino acid-contg. cholic acids that sensitize Gram-neg. bacteria to hydrophobic antibiotics)

RN 222165-26-6 CAPLUS

CN Acetamide, N,N',N''-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-hydroxycholeane-3,7,12-triyl]tris[2-amino- (9CI) (CA INDEX NAME)

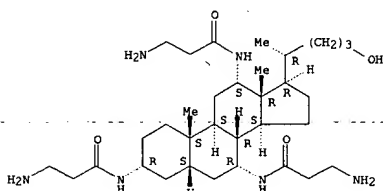
Absolute stereochemistry.



RN 222165-33-5 CAPLUS
 CN Propanamide, N,N',N''-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-hydroxycholeane-3,7,12-triyl]tris[3-amino- (9CI) (CA INDEX NAME)

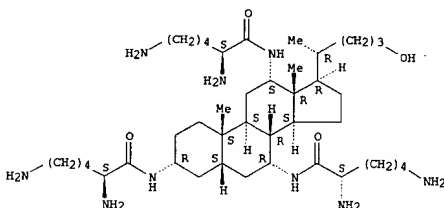
Absolute stereochemistry.

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 222165-39-1 CAPLUS
 CN Hexanamide, N,N',N''-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-hydroxycholane-3,7,12-triyl]tris[2,6-diamino-, (2S,2'S,2'')- (9CI) (CA INDEX NAME)

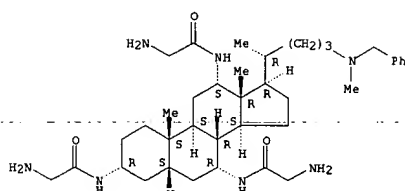
Absolute stereochemistry.



RN 222165-44-8 CAPLUS
 CN Acetamide, N,N',N''-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phenylmethyl)amino]cholane-3,7,12-triyl]tris[2-amino-, (2S,2'S,2'')- (9CI) (CA INDEX NAME)

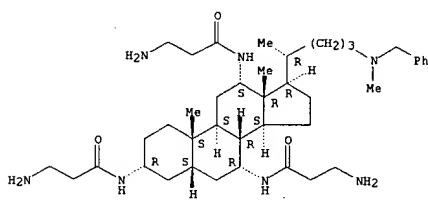
Absolute stereochemistry.

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 222165-50-6 CAPLUS
 CN Propanamide, N,N',N''-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phenylmethyl)amino]cholane-3,7,12-triyl]tris[3-amino-, (2S,2'S,2'')- (9CI) (CA INDEX NAME)

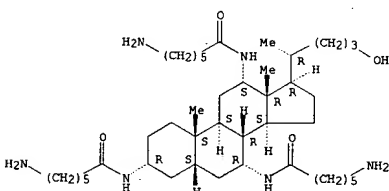
Absolute stereochemistry.



RN 222165-56-2 CAPLUS
 CN Hexanamide, N,N',N''-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-hydroxycholane-3,7,12-triyl]tris[6-amino-, (2S,2'S,2'')- (9CI) (CA INDEX NAME)

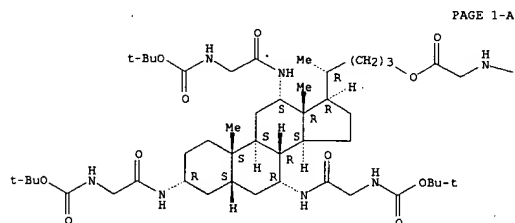
Absolute stereochemistry.

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)



IT 222165-79-9P 222165-83-5P 222165-89-1P
 222165-96-0P 222165-99-3P 222166-04-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of amino acid-contg. cholic acids that sensitize Gram-neg. bacteria to hydrophobic antibiotics)
 RN 222165-79-9 CAPLUS
 CN Glycine, N-[(1,1-dimethylethoxy)carbonyl]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-tris[[(1,1-dimethylethoxy)carbonyl]amino]acetyl]amino]cholelan-24-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



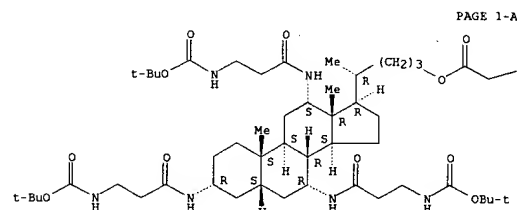
PAGE 1-A



RN 222165-83-5 CAPLUS
 CN .beta.-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-,

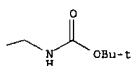
L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)
 (3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-tris[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxopropyl]amino]cholelan-24-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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PAGE 1-B

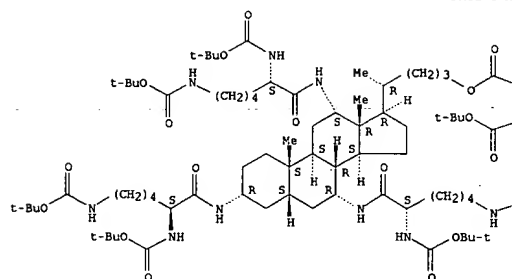


RN 222165-89-1 CAPLUS
 CN L-Lysine, N2,N6-bis[(1,1-dimethylethoxy)carbonyl]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-tris[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxohexyl]amino]cholelan-24-yl ester (9CI) (CA INDEX NAME)

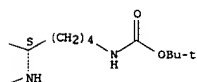
Absolute stereochemistry.

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A



PAGE 1-B



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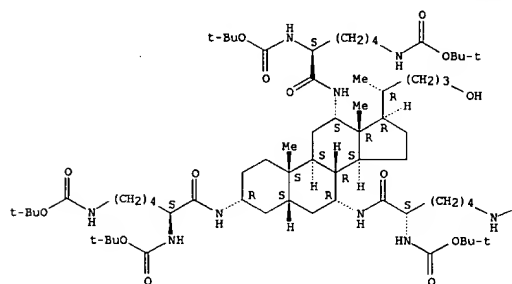
RN      222165-96-0  CAPLUS
CN      Carbamic acid, [[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-hydroxycholane-
3,7,12-triyl]tris[imino(2-oxo-2,1-ethanediy)]]tris-, tris(1,1-
dimethylethyl) ester (9CI)  (CA INDEX NAME)

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Absolute stereochemistry.

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

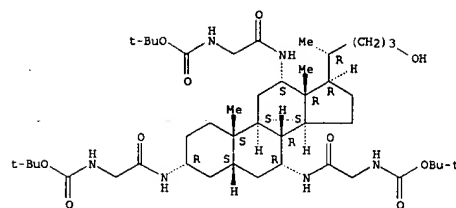


PAGE 1-B



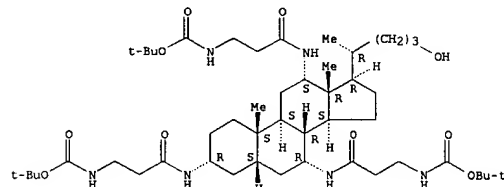
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 222165-99-3 CAPLUS
CN Carbamic acid, [[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-hydroxycholeane-3,7,12-triyl]tris[imino(3-oxo-3,1-propanediyl)]]tris-,
tris(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 222166-04-3 CAPLUS
 CN Carbamic acid, [[[3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-hydroxycholeane-3,7,12-triyl]tris[[imino[(2S)-1-oxo-1,2,6-hexanetriyl]]]hexakis-, hexakis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

09/930,316

Page 10

=> d ibib ab fqhit 1-7

L9 ANSWER 1 OF 7 MARPAT COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 137:155109 MARPAT
 TITLE: Preparation of steroid derivatives for treating
 hypocholesterolemia
 INVENTOR(S): Liao, Shutsung; Song, Ching
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 7 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| US 2002107233 | A1 | 20020808 | US 2002-72128 | 20020208 |
| WO 2002062302 | A2 | 20020815 | WO 2002-US3826 | 20020207 |

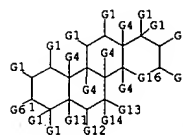
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-267493P 20010208
 AB The steroid derivs. I [R1, R2, R4, R4', R7, R11, R12, R15, R16, R17, R17' = H, OH, amino, carbonyl, oxo, halo, sulfonic acid, -O-sulfonic acid, or alkyl that is optionally inserted with Y: Y = -NH-, -N(alkyl)-, -O-, -S-, -SO-, -SO2-, -O-SO2-, -SO2-O-, -SO3-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -N(alkyl)-CO-, and further optionally substituted with OH, halo, amino, carbonyl, sulfonic acid, or -O-sulfonic acid; R3 = X-Y; X = H, amino, carbonyl, halo, sulfonic acid, -O-sulfonic acid, alkyl; R5R6 = O, double bond; R8, R9, R10, R13, R14 = H, alkyl, haloalkyl, hydroxyalkyl, alkoxy, hydroxy, amino; n = 0-2], or their salts were prepd. Thus, 5.alpha., 6.alpha.-epoxycholesterol-3-sulfate (II) was prepd. by the reaction of 5.alpha., 6.alpha.-epoxy-3.beta.-hydroxycholestan-3-ol and tri-ethylamine-sulfur trioxide complex (also prepd.). Also disclosed are a method of treating hypocholesterolemia and a method of screening for an LXR agonist by administering I, a pharmaceutical compn. contg. at least one of the compds. described above, and an antibody against II or 7-ketocholesterol-3-sulfate.

MSTR 1

L9 ANSWER 1 OF 7 MARPAT COPYRIGHT 2003 ACS (Continued)



G2 = 32

G17

G5 = NH2

G7 = 65-1 66-53

G10-C(=O)

G8 = NH2

G10 = NH

G17 = alkyl<(1-18)> (SO (1-) G5)

MPL: claim 1

NTE: substitution is restricted

L9 ANSWER 2 OF 7 MARPAT COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 137:79113 MARPAT
 TITLE: Preparation of steroid derived antibiotics
 INVENTOR(S): Savage, Paul B.; Li, Chunhong
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 62 pp., Cont.-in-part of U.S. Ser. No. 234,008.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| US 2002091278 | A1 | 20020711 | US 2001-930316 | 20010815 |
| WO 9944616 | A1 | 19990910 | WO 1998-US4489 | 19980306 |

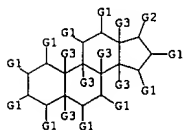
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|----------|
| US 6350738 | B1 | 20020226 | US 1999-234008 | 19990119 |
| | | | WO 1998-US4489 | 19980306 |
| | | | US 1999-234008 | 19990119 |
| | | | US 2000-225467P | 20000815 |

AB Novel steroid derivs. of formula I [R1-R4, R6, R7, R11, R12, R15-R17 = H, OH, alkyl, hydroxyalkyl, alkoxy, alkylamino, aryl, etc.; R5, R8-R10, R13, R14 = H, OH, alkyl, hydroxyalkyl, aminoalkyl, aryl, etc.] are prepd. The steroid derivs. are antibacterial agents. The steroid derivs. also act to sensitize bacteria to other antibiotics including erythromycin and novobiocin. Thus, II was prepd. from Me cholate, allyl bromide and benzylmethylamine in several steps. The prepd. compds. were tested against Gram-neg. bacteria.

MSTR 1



G1 = 132

G16-NH-G15

G16 = alkylene<(1-10)> (SO)

MPL: claim 1

NTE: or pharmaceutically acceptable salts

L9 ANSWER 2 OF 7 MARPAT COPYRIGHT 2003 ACS (Continued)

NTE: substitution is restricted

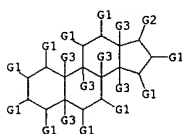
NTE: double bond and oxo formation in steroid ring system also claimed

L9 ANSWER 3 OF 7 MARPAT COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 136:200350 MARPAT
 TITLE: Preparation of steroid derived antibiotics
 INVENTOR(S): Savage, Paul B.; Li, Chunhong
 PATENT ASSIGNEE(S): Brigham Young University, USA
 SOURCE: PCT Int. Appl., 128 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2002014342 | A1 | 20020221 | WO 2001-US25532 | 20010815 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2001084934 | A5 | 20020225 | AU 2001-84934 | 20010815 |
| PRIORITY APPLN. INFO.: US 2000-225467P 20000815 WO 2001-US25532 20010815 | | | | |

AB Novel steroid deriva., such as I (R1-R4, R6, R7, R11, R12, R15-R17 = H, OH, alkyl, hydroxyalkyl, alkoxyalkyl, alkylcarboxyalkyl aminoalkyl, oxo, steroid, etc.; R5, R8-R10, R13, R14 = H, OH, alkyl, hydroxyalkyl, alkoxyalkyl, aryl, aminoalkoxy, etc.), or a pharmaceutically acceptable salt thereof, are prepd. for use as antibacterial agents. The steroid deriva. also act to sensitize bacteria to other antibiotics including erythromycin and novobiocin. Thus, Me cholate was converted into steroid deriv. II in many steps. The MIC value of II against E. coli (ATCC 10798) was 2 .mu.g/mL.

MSTR 1



G1 = 132

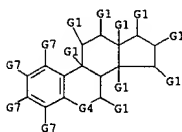
HN-G16-NH-G15
132

L9 ANSWER 4 OF 7 MARPAT COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 134:295993 MARPAT
 TITLE: Estradiol conjugates and their therapeutic applications
 INVENTOR(S): Stewart, Alastair George; McAllister, David James; Collis, Maree Patricia; Robertson, Alan Duncan
 PATENT ASSIGNEE(S): University of Melbourne, Australia
 SOURCE: PCT Int. Appl., 57 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2001027132 | A1 | 20010419 | WO 2000-AU1244 | 20001013 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1226154 | A1 | 20020731 | EP 2000-969105 | 20001013 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL | | | | |

PRIORITY APPLN. INFO.: AU 1999-3425 19991014
 WO 2000-AU1244 20001013
 AB The invention discloses the prepn. of conjugated prodrug of estradiol compd. I (R1-R4 = H, OH, halo, alkyl, alkenyl, alkynyl, cycloalkyl, amino, aryl, keto, hydrazono, oximino, carbohydrate, peptide, etc.; m,n,p,q = 0-3), a pharmaceutically acceptable salt or in vivo hydrolyzable ester, amide carbonate or carbamate thereof, in the treatment of conditions assocd. with enhanced angiogenesis or accelerated cell division, such as cancer, and inflammatory conditions such as asthma and rheumatoid arthritis and hyperproliferative skin disorders including psoriasis. Thus, II [R1 = OMe, R2 = H (III)] was prepd. via multi-step reaction sequence starting from .beta.-estradiol II (R1-R2 = H). In human airway fibroblasts thrombin-stimulated increases in cell no. were reduced to 12 +/- 8% of the control response by III.

MSTR 1

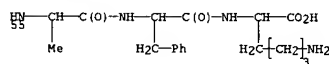


G1 = 55

L9 ANSWER 3 OF 7 MARPAT COPYRIGHT 2003 ACS (Continued)
 G16 = alkylene<(1-10)>
 MPL: claim 1
 NTE: or pharmaceutically acceptable salts
 NTE: substitution is restricted
 NTE: optional unsaturation and oxo formation of steroid ring system also claimed

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

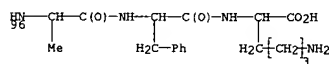
L9 ANSWER 4 OF 7 MARPAT COPYRIGHT 2003 ACS (Continued)



G4 = 33

HC-G1
33

G7 = 96



MPL: claim 10
 NTE: or derivatives, pharmaceutically acceptable salts, or in vivo hydrolyzable esters, amides, carbonates, or carbamates
 NTE: substitution is restricted
 NTE: also incorporates claim 32, formulas IV, V, and VI

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

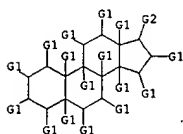
L9 ANSWER 5 OF 7 MARPAT COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 133:120505 MARPAT
 TITLE: synthesis and antibacterial activity of cholanolic acid analogs
 INVENTOR(S): Savage, Paul B.; Li, Chunhong
 PATENT ASSIGNEE(S): Brigham Young University, USA
 SOURCE: PCT Int. Appl., 107 pp.
 CODEN: PIXX02
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| WO 2000042058 | A1 | 20000720 | WO 2000-US1314 | 20000119 |
| W: | AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: | GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | |
| US 6350738 | B1 | 20020226 | US 1999-234008 | 19990119 |
| CA 2360060 | AA | 20000720 | CA 2000-2360060 | 20000119 |
| EP 1144432 | A1 | 20011017 | EP 2000-905672 | 20000119 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | |
| JP 2002534532 | T2 | 20021015 | JP 2000-593625 | 20000119 |

PRIORITY APPLN. INFO.:

AB A series of novel steroid derivs. (I) [R1 = (un)substituted NH2, NHC(=NH)NH2; R2 = (un)substituted NH2, (un)substituted OH; n = 1,2] are synthesized and tested as antibacterial agents. Thus, I [R1 = NH2; R2 = NHC(=NH)Me; n = 2] (II) was prepd. from Me cholate by acid redn. to alc. followed by alc. tritylation and allylation followed by reductive hydroxylation, azidation, deprotection, mesylation, amination and azide redn. The steroid derivs. also act to sensitize bacteria to other antibiotics including erythromycin and novobiocin and II shows a MIC of 4 .mu.g/mL with erythromycin and novobiocin against P. aureginosa.

MPTR 1



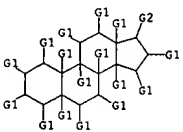
L9 ANSWER 6 OF 7 MARPAT COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 131:199886 MARPAT
 TITLE: Preparation of steroid derived antibiotics
 INVENTOR(S): Savage, Paul B.; Li, Chunhong
 PATENT ASSIGNEE(S): Brigham Young University, USA
 SOURCE: PCT Int. Appl., 120 pp.
 CODEN: PIXX02
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| WO 9944616 | A1 | 19990910 | WO 1998-US4489 | 19980306 |
| W: | AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: | GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | |
| CA 2322847 | AA | 19990910 | CA 1998-2322847 | 19980306 |
| AU 9866911 | A1 | 19990920 | AU 1998-66911 | 19980306 |
| EP 1058552 | A1 | 20001213 | EP 1998-909028 | 19980306 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | |
| BR 9815711 | A | 20011113 | BR 1998-15711 | 19980306 |
| JP 2002505292 | T2 | 20020219 | JP 2000-534218 | 19980306 |
| US 6350738 | B1 | 20020226 | US 1999-234008 | 19990119 |
| US 2002019376 | A1 | 20020214 | US 2001-927926 | 20010810 |
| US 6486148 | B2 | 20021126 | | |
| US 2002091278 | A1 | 20020711 | US 2001-930316 | 20010815 |

PRIORITY APPLN. INFO.:

AB Novel steroid derivs. of formula I [R1-R4, R6, R7, R11, R12, R15-R17 = H, OH, alkyl, hydroxyalkyl, aminoalkyl, oxo, steroid, etc.; R5, R8-R10, R13, R14 = H, OH, alkyl, hydroxyalkyl, aryl, oxo, etc.] are prepd. The steroid derivs. are antibacterial agents. The steroid derivs. also act to sensitize bacteria to other antibiotics including erythromycin and novobiocin. Thus, Me cholate was converted into II in many steps. The MIC value of II against E. coli (ATCC 10798) was 2 ug/mL.

MPTR 1



G1 = 42

L9 ANSWER 5 OF 7 MARPAT COPYRIGHT 2003 ACS (Continued)

G1 = 42

HN-C(O)-G3-G5

G3 = alkylene<(1-10)>
 G5 = NH2 (SO)

DER: or pharmaceutically acceptable salts

MPL: claim 6

NTE: substitution is restricted

NTE: optional unsaturation and oxo formation of steroid ring system also claimed

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 6 OF 7 MARPAT COPYRIGHT 2003 ACS (Continued)

HN-C(O)-G3-G5

G3 = alkylene<(1-10)>
 G5 = NH2 (SO)

DER: or pharmaceutically acceptable salts

MPL: claim 1

NTE: substitution is restricted

NTE: optional unsaturation and oxo formation of steroid ring system also claimed

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

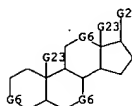
L9 ANSWER 7 OF 7 MARPAT COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 128:75635 MARPAT
 TITLE: Preparation of steroidal C-glycosides
 INVENTOR(S): Anderson, Mark; Musser, John Henry
 PATENT ASSIGNEE(S): Glycomed Inc., USA
 SOURCE: U.S., 20 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

L9 ANSWER 7 OF 7 MARPAT COPYRIGHT 2003 ACS (Continued)
 G10 = NH2
 MPL: claim 1
 NTE: substitution is restricted

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------|
| US 5695738 | A | 19971209 | US 1995-490965 | 19950615 |
| PRIORITY APPLN. INFO.: | | | | |
| | | | US 1995-490965 | 19950615 |

AB Steroidal C-glycosides I (R1 = H, alkyl; R2 = alkyl, alkene, CHMe(CH2)_nCO2H or corresponding esters, amides or salts (n = pos. integer); at least one of R3 is a carbohydrate moiety and the remaining R3 are selected from R1, oxo, sulfate, sulfonate, O-carbohydrate) were prepd. as pharmaceuticals. Thus, 1-[3-O-(lithocholic acid)]-2-(α-L-C-methylfucofuranose)-2',3'-propanediol Me ester was prepd. and assayed for antiinflammatory activity. Arachidonic acid-induced inflammation was reduced by 48.5% by topical application of 1 mg of this compd.

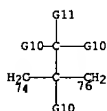
MSR 1



G6 = 35

G20-CH₃

G7 = NH
 G8 = 74-31 76-34



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FILE 'REGISTRY' ENTERED AT 09:19:33 ON 03 MAR 2003

L1 STRUCTURE UPLOADED

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L3 15 S L1 FULL

FILE 'CAPLUS' ENTERED AT 09:20:20 ON 03 MAR 2003

L4 5 S L3

FILE 'USPATFULL' ENTERED AT 09:22:09 ON 03 MAR 2003

L5 1 S L3

L6 0 S L5 NOT L4

FILE 'MARPAT' ENTERED AT 09:22:26 ON 03 MAR 2003

L7 0 S L3

L8 11 S L3 FULL

L9 7 S L8/COM